

10634162

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(FILE 'HOME' ENTERED AT 10:28:34 ON 02 JUL 2004)

FILE 'REGISTRY' ENTERED AT 10:28:47 ON 02 JUL 2004

L1           STRUCTURE uploaded  
L2         6 S L1  
L3         STRUCTURE uploaded  
L4         1 S L3  
L5         STRUCTURE uploaded  
L6         1 S L5  
L7         STRUCTURE uploaded  
L8         50 S L7  
L9         STRUCTURE uploaded  
L10        41 S L9  
L11        STRUCTURE uploaded  
L12        14 S L11  
L13       299 S L11 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:27:22 ON 02 JUL 2004

L14       69 S L13  
L15       41 S L14 AND PATENT/DT  
L16       9 S L15 AND THIENOPYRIDI?  
          E WILSON MICHAEL WILLIAM/IN  
L17       21 S E2-E4  
L18       0 S L17 AND L14  
L19       0 S L17 AND L15  
L20       5 S L17 AND BICYCLIC  
L21       5 S L20 AND METALLOPROTEINASE  
          SELECT L21 5 RN

FILE 'REGISTRY' ENTERED AT 11:35:21 ON 02 JUL 2004

L22       56 S E1-E56  
L23       STRUCTURE uploaded  
L24       0 S L23 SUB=L13 SAMPLE  
L25       0 S L23  
L26       1 S L23 SSS FULL SUB=L13

FILE 'CAPLUS' ENTERED AT 11:40:22 ON 02 JUL 2004

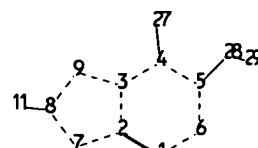
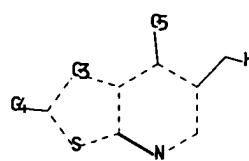
L27       1 S L26  
L28       STRUCTURE uploaded  
          S L28

FILE 'REGISTRY' ENTERED AT 11:53:09 ON 02 JUL 2004  
L29       5 S L28

FILE 'CAPLUS' ENTERED AT 11:53:10 ON 02 JUL 2004  
L30       4 S L29

FILE 'REGISTRY' ENTERED AT 11:53:33 ON 02 JUL 2004  
L31       86 S L28 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:55:07 ON 02 JUL 2004  
L32       22 S L31



chain nodes :

11 14 15 16 17 18 19 20 25 27 28 29

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

4-27 5-28 8-11 14-15 14-18 16-17 17-19 20-25 28-29

ring bonds :

1-6 1-2 2-7 2-3 3-9 3-4 4-5 5-6 7-8 8-9

exact/norm bonds :

1-6 1-2 2-7 2-3 3-9 3-4 4-5 4-27 5-6 5-28 7-8 8-9 8-11 14-15 14-18 16-17  
17-19 20-25 28-29

isolated ring systems :

containing 1 :

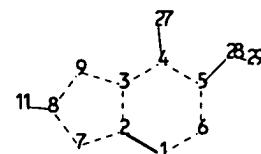
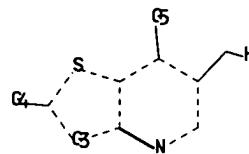
G3 : C, S, N

G4 : CH<sub>3</sub>, Et, n-Pr, Cy, [\*1], [\*2], [\*3]

G5 : C, O, H

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS 14:CLASS  
15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 25:CLASS 27:CLASS 28:CLASS  
29:CLASS



chain nodes :

11 14 15 16 17 18 19 20 25 27 28 29

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

4-27 5-28 8-11 14-15 14-18 16-17 17-19 20-25 28-29

ring bonds :

1-6 1-2 2-7 2-3 3-9 3-4 4-5 5-6 7-8 8-9

exact/norm bonds :

1-6 1-2 2-7 2-3 3-9 3-4 4-5 4-27 5-6 5-28 7-8 8-9 8-11 14-15 14-18 16-17  
17-19 20-25 28-29

isolated ring systems :

containing 1 :

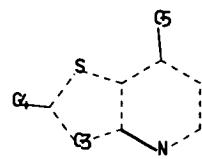
G3 : C, S, N

G4 : CH3, Et, n-Pr, Cy, [\*1], [\*2], [\*3]

G5 : C, O, H

Match level :

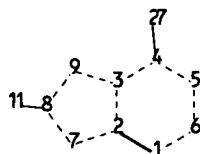
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS 14:CLASS  
15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 25:CLASS 27:CLASS 28:CLASS  
29:CLASS



$\text{a}^1\text{N}$

$\text{O}$   
 $\text{Na}^2$

$\text{a}^3$



$\text{a}^1\text{B}$

$\text{a}^1\text{B}$

$\text{a}^3$

chain nodes :  
11 14 15 16 17 18 19 20 25 27  
ring nodes :  
1 2 3 4 5 6 7 8 9  
chain bonds :  
4-27 8-11 14-15 14-18 16-17 17-19 20-25  
ring bonds :  
1-6 1-2 2-7 2-3 3-9 3-4 4-5 5-6 7-8 8-9  
exact/norm bonds :  
1-6 1-2 2-7 2-3 3-9 3-4 4-5 4-27 5-6 7-8 8-9 8-11 14-15 14-18 16-17 17-19  
20-25  
isolated ring systems :  
containing 1 :

G3:C,S,N

G4:CH3,Et,n-Pr,Cy,[\*1],[\*2],[\*3]

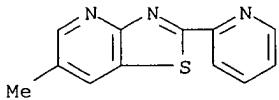
G5:C,O,H

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS 14:CLASS  
15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 25:CLASS 27:CLASS

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> d bib abs hitstr

L27 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1975:547414 CAPLUS  
DN 83:147414  
TI Heterocycles. CXXVII. Action of sulfur on some heterocyclic compounds.  
Formation of thioamides, oxidative cyclization and thiation  
AU Kramberger, L.; Lorencak, P.; Polanc, S.; Vercek, B.; Stanovnik, B.;  
Tisler, M.; Povazanec, F.  
CS Dep. Chem., Univ. Ljubljana, Ljubljana, Yugoslavia  
SO Journal of Heterocyclic Chemistry (1975), 12(2), 337-42  
CODEN: JHTCAD; ISSN: 0022-152X  
DT Journal  
LA English  
OS CASREACT 83:147414  
GI For diagram(s), see printed CA Issue.  
AB The formation of heterocyclic thioamides from alkylpyridines, heteroarom. amines and sulfur was investigated. Oxidative cyclization of these thioamides afforded the corresponding thiazoloazines. Attempted thiation of some hydrazones gave triazolopyridines and some examples of direct thiation of heterocycles are given. Thus, 2-methylpyridine, 5, and RNH<sub>2</sub> gave 10 I (R = 3-pyridyl, 4-pyridyl, 4-methyl-2-pyridyl, 5-methyl-2-pyridyl, 2-pyridazyl, 2-pyrazyl, 2-triazolyl, 5-tetrazolyl, 2-benzothiazolyl, anilino). Oxidative cyclization of I (R = 5-methyl-2-pyridyl) gave II. Attempted thiation of III gave IV and thiation of 2-(N-2-pyridylformimidoyl)pyridine gave I (R = 2-pyridyl).  
IT 57036-77-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 57036-77-8 CAPLUS  
CN Thiazolo[4,5-b]pyridine, 6-methyl-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



10634162

=> d 1-22 bib abs hitstr

L32 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:142958 CAPLUS  
DN 140:193096  
TI Fused bicyclic metalloproteinase inhibitors, pharmaceutical compositions, and therapeutic use  
IN Wilson, Michael William  
PA Warner-Lambert Company LLC, USA  
SO PCT Int. Appl., 102 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 2

|    | PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---------------|------|----------|-----------------|----------|
| PI | WO 2004014375 | A2   | 20040219 | WO 2003-IB3523  | 20030804 |
|    | WO 2004014375 | A3   | 20040603 |                 |          |

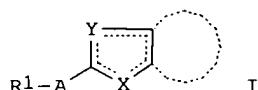
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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,  
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,  
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-403008P P 20020813

OS MARPAT 140:193096

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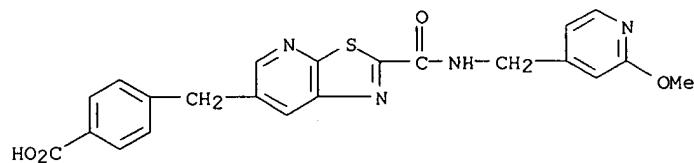
AB The invention discloses fused bicyclic metalloproteinase inhibitors I [A = C2-6 alkynyl, bond, etc.; X, Y = O, S, etc. (with proviso); dashed lines = optional double bonds; B = substituted pyridinyl; R1 = C1-6 alkyl, C2-6 alkenyl, etc.], as well as pharmaceutical compns. and methods of treating arthritis, inflammation, cancer and other disorders.

IT 660815-88-3 660815-89-4 660815-90-7  
660815-91-8 660815-92-9 660815-93-0  
660816-11-5 660816-12-6 660816-13-7  
660816-14-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(fused bicyclic metalloproteinase inhibitors, pharmaceutical compns., and therapeutic use)

RN 660815-88-3 CAPLUS

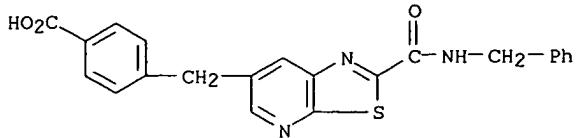
CN Benzoic acid, 4-[[2-[[[(2-methoxy-4-pyridinyl)methyl]amino]carbonyl]thiazolo[5,4-b]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)



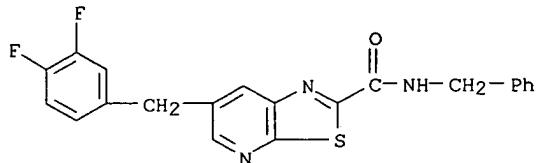
RN 660815-89-4 CAPLUS

CN Benzoic acid, 4-[[2-[[[(phenylmethyl)amino]carbonyl]thiazolo[5,4-b]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)

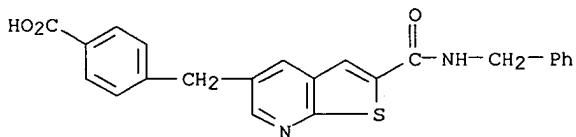
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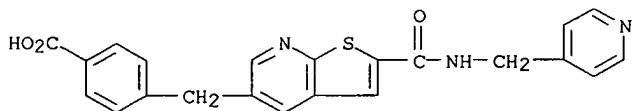
RN 660815-90-7 CAPLUS  
CN Thiazolo[5,4-b]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



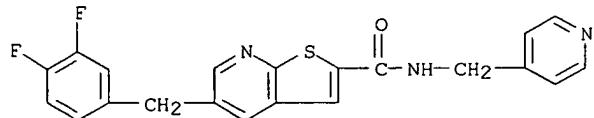
RN 660815-91-8 CAPLUS  
CN Benzoic acid, 4-[[2-[(phenylmethyl)amino]carbonyl]thieno[2,3-b]pyridin-5-yl]methyl- (9CI) (CA INDEX NAME)



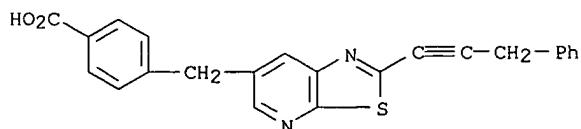
RN 660815-92-9 CAPLUS  
CN Benzoic acid, 4-[[2-[(4-pyridinylmethyl)amino]carbonyl]thieno[2,3-b]pyridin-5-yl]methyl- (9CI) (CA INDEX NAME)



RN 660815-93-0 CAPLUS  
CN Thieno[2,3-b]pyridine-2-carboxamide, 5-[(3,4-difluorophenyl)methyl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

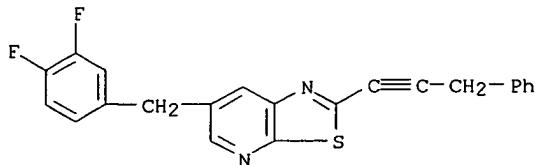


RN 660816-11-5 CAPLUS  
CN Benzoic acid, 4-[[2-(3-phenyl-1-propynyl)thiazolo[5,4-b]pyridin-6-yl]methyl- (9CI) (CA INDEX NAME)

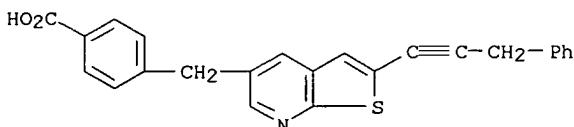


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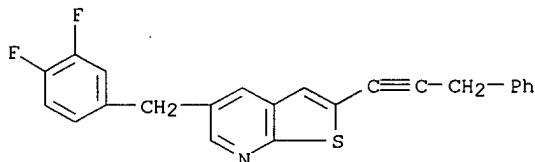
RN 660816-12-6 CAPLUS  
CN Thiazolo[5,4-b]pyridine, 6-[(3,4-difluorophenyl)methyl]-2-(3-phenyl-1-propynyl)- (9CI) (CA INDEX NAME)



RN 660816-13-7 CAPLUS  
CN Benzoic acid, 4-[(2-(3-phenyl-1-propynyl)thieno[2,3-b]pyridin-5-yl)methyl]- (9CI) (CA INDEX NAME)

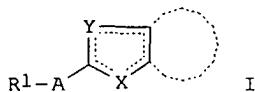


RN 660816-14-8 CAPLUS  
CN Thieno[2,3-b]pyridine, 5-[(3,4-difluorophenyl)methyl]-2-(3-phenyl-1-propynyl)- (9CI) (CA INDEX NAME)



L32 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:142808 CAPLUS  
DN 140:193094  
TI Fused bicyclic metalloproteinase inhibitors, pharmaceutical compositions, and therapeutic use  
IN Wilson, Michael William  
PA USA  
SO U.S. Pat. Appl. Publ., 41 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 2  
PATENT NO. KIND DATE APPLICATION NO. DATE  
----- -----  
PI US 2004034054 A1 20040219 US 2003-634162 20030805  
PRAI US 2002-403008P P 20020813  
OS MARPAT 140:193094  
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AB The invention discloses fused bicyclic metalloproteinase inhibitors I [A = C2-6 alkynyl, bond, etc.; X, Y = O, S, etc. (with proviso); dashed lines =

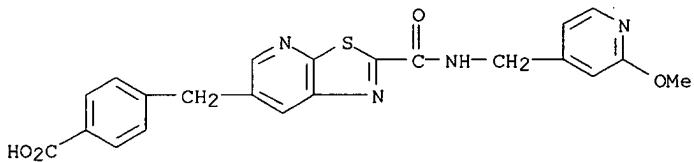
optional double bonds; B = substituted pyridinyl; R1 = C1-6 alkyl, C2-6 alkenyl, etc.], as well as pharmaceutical compns. and methods of treating arthritis, inflammation, cancer, and other disorders.

IT 660815-88-3 660815-89-4 660815-90-7  
 660815-91-8 660815-92-9 660815-93-0  
 660816-11-5 660816-12-6 660816-13-7  
 660816-14-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (fused bicyclic metalloproteinase inhibitors, pharmaceutical compns., and therapeutic use)

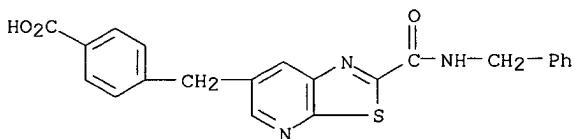
RN 660815-88-3 CAPLUS

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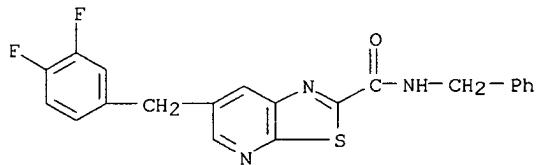
RN 660815-89-4 CAPLUS

CN Benzoic acid, 4-[2-[[[(phenylmethyl)amino]carbonyl]thiazolo[5,4-b]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)



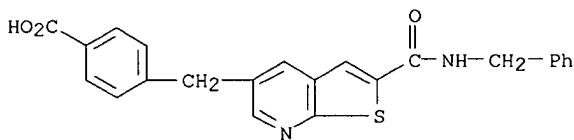
RN 660815-90-7 CAPLUS

CN Thiazolo[5,4-b]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 660815-91-8 CAPLUS

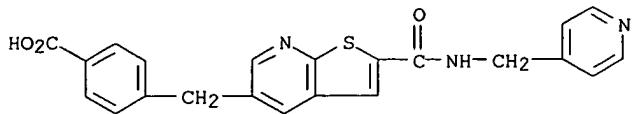
CN Benzoic acid, 4-[2-[[[(phenylmethyl)amino]carbonyl]thieno[2,3-b]pyridin-5-yl]methyl]- (9CI) (CA INDEX NAME)



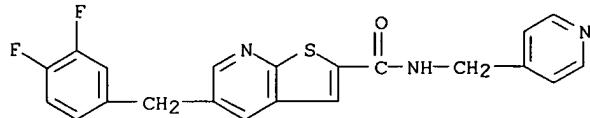
RN 660815-92-9 CAPLUS

CN Benzoic acid, 4-[2-[[[(4-pyridinylmethyl)amino]carbonyl]thieno[2,3-b]pyridin-5-yl]methyl]- (9CI) (CA INDEX NAME)

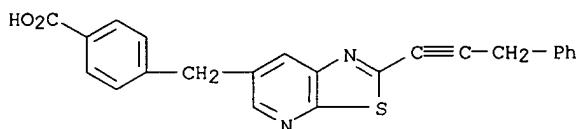
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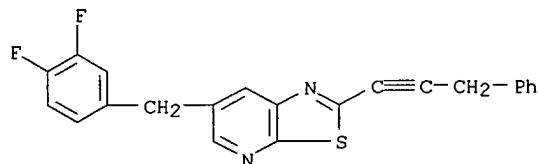
RN 660815-93-0 CAPLUS  
CN Thieno[2,3-b]pyridine-2-carboxamide, 5-[(3,4-difluorophenyl)methyl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



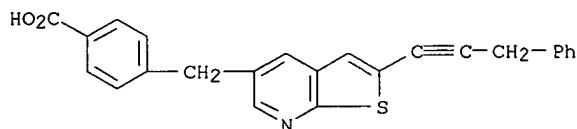
RN 660816-11-5 CAPLUS  
CN Benzoic acid, 4-[(2-(3-phenyl-1-propynyl)thiazolo[5,4-b]pyridin-6-yl)methyl]- (9CI) (CA INDEX NAME)



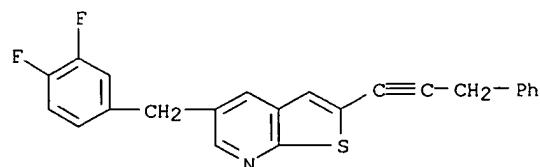
RN 660816-12-6 CAPLUS  
CN Thiazolo[5,4-b]pyridine, 6-[(3,4-difluorophenyl)methyl]-2-(3-phenyl-1-propynyl)- (9CI) (CA INDEX NAME)



RN 660816-13-7 CAPLUS  
CN Benzoic acid, 4-[(2-(3-phenyl-1-propynyl)thieno[2,3-b]pyridin-5-yl)methyl]- (9CI) (CA INDEX NAME)

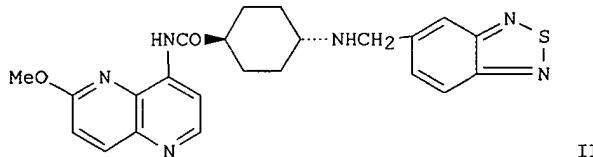
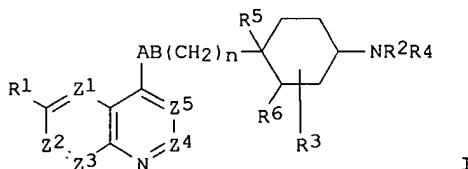


RN 660816-14-8 CAPLUS  
CN Thieno[2,3-b]pyridine, 5-[(3,4-difluorophenyl)methyl]-2-(3-phenyl-1-propynyl)- (9CI) (CA INDEX NAME)



L32 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2003:837084 CAPLUS  
 DN 139:337959  
 TI Preparation of nitrogen-containing bicyclic heterocycles for use as antibacterials  
 IN Brooks, Gerald; Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Pearson, Neil David  
 PA Smithkline Beecham P.L.C., UK  
 SO PCT Int. Appl., 163 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|------|---|------|----------|--|----------|
| PI   | WO 2003087098   | A1   | 20031023 | WO 2002-EP5708   | 20020524 |
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|      | EP 1399443  | A1   | 20040324 | EP 2002-807202   | 20020524 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |  |          |
| PRAI | GB 2001-12834   | A    | 20010525 |  |          |
|      | WO 2002-EP5708  | W    | 20020524 |  |          |
| OS   | MARPAT 139:337959   |      |          |  |          |
| GI   |   |      |          |  |          |



AB Naphthyridines I [one of Z1-Z5 = N, one = (un)substituted Ch, the others = CH; one of Z1-Z5 = (un)substituted Ch, the others = CH; R1 = H, OH, halogen, (un)substituted alkoxy, alkyl, alkylthio, CF<sub>3</sub>, NO<sub>2</sub>, N<sub>3</sub>, acyl, acyloxy, acylthio, alkylsulfonyl, alkylsulfinyl, arylsulfonyl, arylsulfinyl, amino; R2 = H, (un)substituted alkyl, alkenyl; R3 = H, CO<sub>2</sub>H, alkoxy carbonyl, (un)substituted alkyl, CONH<sub>2</sub>, CN, tetrazolyl, 2-oxooxazolidinyl, 3-hydroxy-3-cyclobutene-1,2-dion-4-yl, 2,4-thiazolidinedion-5-yl, 1,2,4-triazol-5-yl, 5-oxo-1,2,4-oxadiazol-3-yl; R4 = (un)substituted alkyl, heterocyclic; R5, R6 = H; R5R6 = bond; AB = (un)substituted CONH, NHCO, COCH<sub>2</sub>, CH<sub>2</sub>CO, OCH<sub>2</sub>, CH<sub>2</sub>O, NHCH<sub>2</sub>, CH<sub>2</sub>NH, NHSO<sub>2</sub>, CH<sub>2</sub>SO<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>; n = 0, 1] were prepared for use as bactericides. Thus, 2,1,3-benzothiadiazole-5-carboxylic acid was reduced to the alc., mesylated, and treated with the amine fragment, prepared from 5-amino-2-methoxypyridine in 5 steps, to give the naphthyridine II, which had IC<sub>50</sub> against *Staphylococcus aureus* Oxford, several *S. pneumoniae* strains, and *Escherichia coli* strains of ≤ 4 µg/mL.

IT 615567-85-6P

10634162

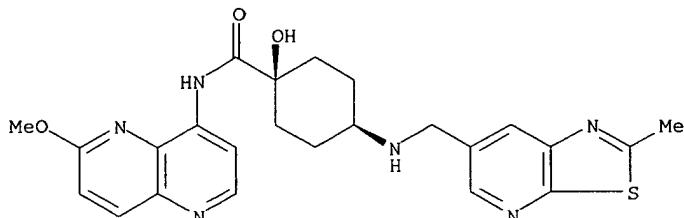
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrogen-containing bicyclic heterocycles for use as antibacterials)

RN 615567-85-6 CAPLUS

CN Cyclohexanecarboxamide, 1-hydroxy-N-(6-methoxy-1,5-naphthyridin-4-yl)-4-[(2-methylthiazolo[5,4-b]pyridin-6-yl)methyl]amino-, dihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



●2 HCl

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:416457 CAPLUS

DN 139:197453

TI Synthesis of new pyrido[3',2':4,5]thieno[2,3-e]pyrrolo[1,2- $\alpha$ ]pyrazines

AU Aadil, Mina; Kirsch, Gilbert

CS Laboratoire de Chimie Bioorganique et Analytique, Faculte des Sciences et Techniques, Mohammedia, Morocco

SO Heterocyclic Communications (2003), 9(2), 123-127

CODEN: HCOMEX; ISSN: 0793-0283

PB Freund Publishing House Ltd.

DT Journal

LA English

OS CASREACT 139:197453

AB The aim of the present paper is to describe the synthesis of several unknown polyfused heterocycles containing the pyrazine ring. Novel pyrido[3',2':4,5]thieno[2,3-e]pyrrolo[1,2-a]pyrazines derivs. have been synthesized starting from Me 3-amino thieno[2,3-b] pyridines carboxylates via a Curtius rearrangement.

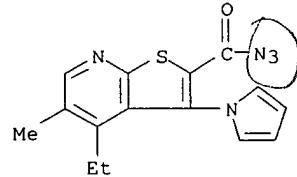
IT 582289-74-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrido[3',2':4,5]thieno[2,3-e]pyrrolo[1,2-a]pyrazines via Curtius rearrangement of Me 3-amino-thieno[2,3-b]pyridines carboxylates)

RN 582289-74-5 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonyl azide, 4-ethyl-5-methyl-3-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10634162

L32 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:264873 CAPLUS

DN 136:304061

TI Bicyclic thiophenes and pharmaceutical compositions containing them as TNF- $\alpha$  formation inhibitors

IN Fujita, Shoichi; Hirayama, Tetsuya; Kawahara, Yoshikazu

PA Nikken Chemicals Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

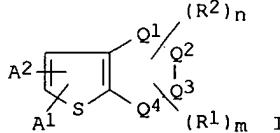
DT Patent

LA Japanese

FAN.CNT 1

|      | PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|------|-------------------|------|----------|-----------------|----------|
| PI   | JP 2002105081     | A2   | 20020410 | JP 2001-224397  | 20010725 |
| PRAI | JP 2000-229195    | A    | 20000728 |                 |          |
| OS   | MARPAT 136:304061 |      |          |                 |          |

GI



AB The compns., useful for prevention and treatment of inflammation, etc., contain bicyclic thiophenes I [A1 = (un)substituted N-containing heteroaryl; A2 = (un)substituted aryl, (un)substituted cycloalkyl; R1, R2 = (un)substituted lower alkyl, (un)substituted acyl(oxy), etc.; m, n = 0-2; Q1-Q4 = C, CH, CH<sub>2</sub>, CO, O, N, NH; 1 or 2 of Q1-Q4 = N, NH], their stereoisomers, or salts. 3-Aminocarbonyl-4-(4-fluorophenyl)-5-(4-pyridyl)-2-thiopheneamine was condensed with acetyl chloride and cyclized to give 5-(4-fluorophenyl)-2-methyl-6-(4-pyridyl)thieno[2,3-d]pyrimidin-4(3H)-one, which was orally administered to rats at 50 mg/kg to show 94.0% inhibition of TNF- $\alpha$  formation.

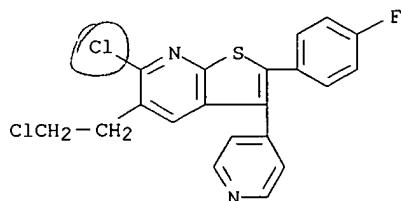
IT 409059-52-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceuticals containing bicyclic thiophenes as TNF- $\alpha$  formation inhibitors)

RN 409059-52-5 CAPLUS

CN Thieno[2,3-b]pyridine, 6-chloro-5-(2-chloroethyl)-2-(4-fluorophenyl)-3-(4-pyridinyl)-(9CI) (CA INDEX NAME)



L32 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:740125 CAPLUS

DN 128:16433

TI Preparation of thienopyridinones as GNRH agonists and antagonists

IN Suzuki, Nobuhiro; Furuya, Shuichi

PA Furuya, Shuichi, Japan; Takeda Chemical Industries, Ltd.; Suzuki, Nobuhiro

SO PCT Int. Appl., 285 pp.

CODEN: PIXXD2

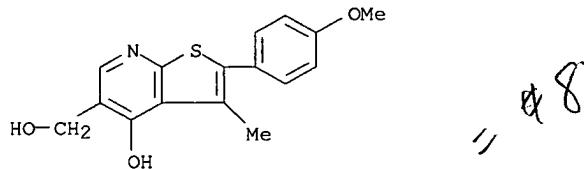
DT Patent

LA English

FAN.CNT 1

|  | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------------|------|------|-----------------|------|
|--|------------|------|------|-----------------|------|

-----  
 PI WO 9740846 A1 19971106 WO 1997-JP1459 19970425  
 W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU,  
 IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO,  
 NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU,  
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,  
 GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,  
 ML, MR, NE, SN, TD, TG  
 CA 2250908 AA 19971106 CA 1997-2250908 19970425  
 AU 9724079 A1 19971119 AU 1997-24079 19970425  
 JP 10045625 A2 19980217 JP 1997-108713 19970425  
 EP 906115 A1 19990407 EP 1997-919703 19970425  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 US 6015789 A 20000118 US 1997-894317 19970814  
 PRAI JP 1996-109790 19960430  
 JP 1996-138873 19960531  
 WO 1997-JP1459 19970425  
 OS MARPAT 128:16433  
 AB The present invention relates to a pharmaceutical comprising a LH  
 releasing hormone agonist in combination with a LH releasing hormone  
 antagonist. By using a LH releasing hormone agonist and a LH releasing  
 hormone antagonist in combination, the transient exacerbation with  
 elevation of serum testosterone and estrogen owing to the  
 pituitary-gonadotropic action (acute action) manifested immediately  
 following an initial dose of the LH releasing hormone agonist can be  
 successfully obviated. The synthesis of the title compds. and their  
 activity are described.  
 IT 174072-83-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of condensed bicyclic LHRH antagonists and use in combination  
 with LHRH active peptides)  
 RN 174072-83-4 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-methanol, 4-hydroxy-2-(4-methoxyphenyl)-3-methyl-  
 (9CI) (CA INDEX NAME)



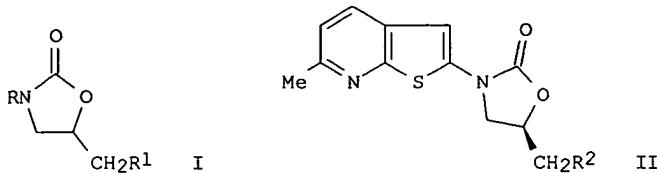
L32 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:552637 CAPLUS  
 DN 127:149139  
 TI Preparation of bactericidal pyridothenyl- and pyridofuryloxazolidinones  
 IN Riedl, Bernd; Haebich, Dieter; Stolle, Andreas; Ruppelt, Martin; Bartel,  
 Stefan; Guarnieri, Walter; Endermann, Rainer; Kroll, Hein-Peter  
 PA Bayer A.-G., Germany  
 SO Ger. Offen., 28 pp.

DT Patent  
 LA German  
 FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|--|------|----------|------------------|----------|
| PI | DE 19601264  | A1   | 19970717 | DE 1996-19601264 | 19960116 |
|    | EP 785200  | A2   | 19970723 | EP 1997-100025   | 19970103 |
|    | EP 785200  | A3   | 19990210 |                  |          |
|    | R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL,<br>PT, SE |      |          |                  |          |
|    | AU 9710098   | A1   | 19970724 | AU 1997-10098    | 19970109 |
|    | US 5827857   | A    | 19981027 | US 1997-781001   | 19970109 |
|    | CA 2194938   | AA   | 19970717 | CA 1997-2194938  | 19970113 |
|    | JP 09194482  | A2   | 19970729 | JP 1997-17559    | 19970114 |
|    | NO 9700175   | A    | 19970717 | NO 1997-175      | 19970115 |
|    | ZA 9700303   | A    | 19970717 | ZA 1997-303      | 19970115 |
|    | CN 1161968   | A    | 19971015 | CN 1997-101806   | 19970116 |
|    | BR 9700702   | A    | 19980901 | BR 1997-702      | 19970116 |

10634162

PRAI DE 1996-19601264 A 19960116  
OS MARPAT 127:149139  
GI



AB Title compds. I [R = pyridothienyl, pyridofuryl; R1 = N3, (un)substituted OH, NH2] were prepared Thus, 2-chloro-6-methylpyridine-3-carbonitrile was treated with HSCH<sub>2</sub>CO<sub>2</sub>Me to give Me 3-amino-6-methylthieno[2,3-b]pyridine-2-carboxylate, which was deaminated, and converted to the 2-butoxycarbonylamino derivative via the acid azide. 2-Butoxycarbonylamino-6-methylthieno[2,3-b]pyridine was cyclized with (R)-glycidyl butyrate to give the oxazolidinone II [R2 = OH]. This was converted to II [R2 = NHCSMe] which had min. inhibitory concns. against several staphylococcus strains of 2 µg/mL.

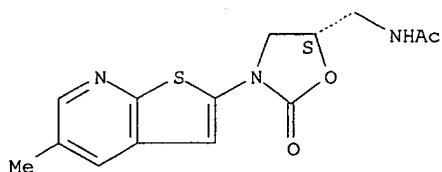
IT 193400-72-5P 193400-77-0P 193400-78-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of bactericidal pyridothienyl- and pyridofuryloxazolidinones)

RN 193400-72-5 CAPLUS

CN Acetamide, N-[(3-(5-methylthieno[2,3-b]pyridin-2-yl)-2-oxo-5-oxazolidinyl)methyl]-, (S)- (9CI) (CA INDEX NAME)

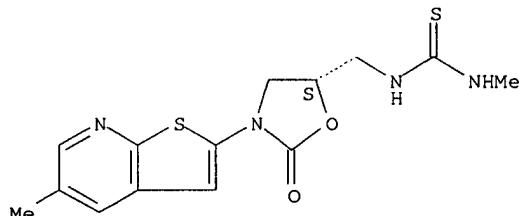
Absolute stereochemistry.



RN 193400-77-0 CAPLUS

CN Thiourea, N-methyl-N'-[{[3-(5-methylthieno[2,3-b]pyridin-2-yl)-2-oxo-5-oxazolidinyl)methyl]-, (S)- (9CI) (CA INDEX NAME)

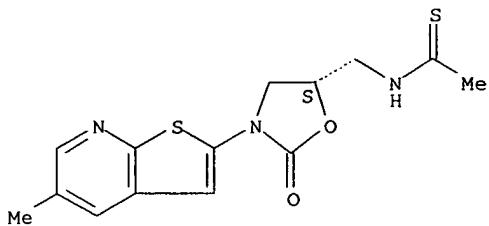
Absolute stereochemistry.



RN 193400-78-1 CAPLUS

CN Ethanethioamide, N-[(3-(5-methylthieno[2,3-b]pyridin-2-yl)-2-oxo-5-oxazolidinyl)methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



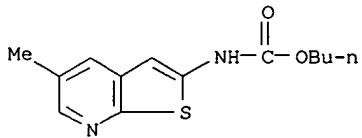
IT 193400-59-8P 193400-62-3P 193400-66-7P

193400-69-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of bactericidal pyridothenyl- and pyridofuryloxazolidinones)

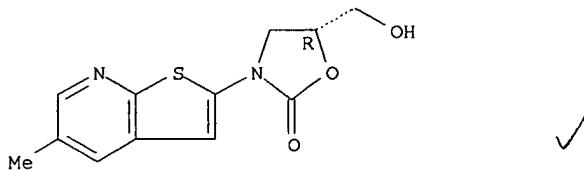
RN 193400-59-8 CAPLUS

CN Carbamic acid, (5-methylthieno[2,3-b]pyridin-2-yl)-, butyl ester (9CI)  
(CA INDEX NAME)

RN 193400-62-3 CAPLUS

CN 2-Oxazolidinone, 5-(hydroxymethyl)-3-(5-methylthieno[2,3-b]pyridin-2-yl)-,  
(R)- (9CI) (CA INDEX NAME)

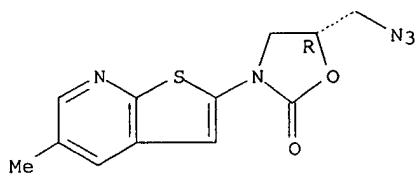
Absolute stereochemistry.



RN 193400-66-7 CAPLUS

CN 2-Oxazolidinone, 5-(azidomethyl)-3-(5-methylthieno[2,3-b]pyridin-2-yl)-,  
(R)- (9CI) (CA INDEX NAME)

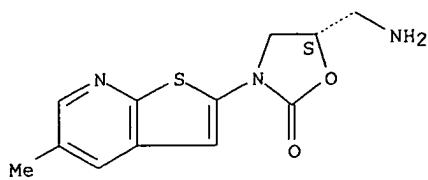
Absolute stereochemistry.



RN 193400-69-0 CAPLUS

CN 2-Oxazolidinone, 5-(aminomethyl)-3-(5-methylthieno[2,3-b]pyridin-2-yl)-,  
monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L32 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:528659 CAPLUS  
 DN 127:135807  
 TI Preparation of condensed bicyclic compounds as prolactin production inhibitors  
 IN Suzuki, Nobuhiro; Matsumoto, Hirokazu; Furuya, Shuichi  
 PA Takeda Chemical Industries, Ltd., Japan  
 SO Eur. Pat. Appl., 149 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE   |
|------|---|------|----------|---|--|
| PI   | EP 781774   | A2   | 19970702 | EP 1996-119589  | 19961206                                     |
|      | EP 781774   | A3   | 19971112 |   |  |
|      | EP 781774   | B1   | 20020731 |   |  |
|      | R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE<br>CA 2192283<br>JP 09216823<br>AT 221534<br>US 5977132 | AA   | 19970609 | CA 1996-2192283<br>JP 1996-326455<br>AT 1996-119589<br>US 1996-762125 | 19961206<br>19961206<br>19961206<br>19961209 |
| PRAI | JP 1995-345046  | A    | 19951208 |   |  |
| OS   | MARPAT 127:135807   |      |          |   |  |
| GI   |   |      |          |   |  |

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

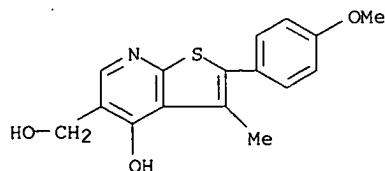
AB The title compds. [I; W = (un)substituted homo or hetero 5-7-membered ring; Y = (un)substituted homo or hetero 5-7-membered ring] and their salts, useful for the prophylaxis or therapy of diseases accompanied with an excess prolactin production or diseases having enhanced reactivity with prolactin, or for inhibiting puerperal lactation, and also useful as a prophylactic or therapeutic agent of galactorrhea, hyperprolactinemic ovulation disturbance, amenorrhea-galactorrhea syndrome, prolactinoma, and interbrain tumor, and acromegaly, pituitary gigantism, were prepared and formulated. Thus, reaction of 4-hydroxy-5-hydroxymethyl-2-(4-methoxyphenyl)-3-methylthieno[2,3-b]pyridine with 2-fluorobenzyl chloride in the presence of KI afforded the title compound II. For example, the title compound III.HCl showed 34% inhibition of the PRL secretion at 2 $\mu$ M and 62% inhibition at 10 $\mu$ M.

IT 174072-83-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of condensed bicyclic compds. as prolactin production inhibitors)

RN 174072-83-4 CAPLUS

CN Thieno[2,3-b]pyridine-5-methanol, 4-hydroxy-2-(4-methoxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)

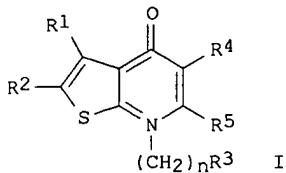


L32 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:381008 CAPLUS  
 DN 126:343558  
 TI Preparation of thienopyridine derivatives as gonadotropin releasing hormone antagonists.  
 IN Furuya, Shuichi; Choh, Nobuo; Harada, Masataka; Sasaki, Satoshi  
 PA Takeda Chemical Industries, Ltd., Japan  
 SO PCT Int. Appl., 109 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 1

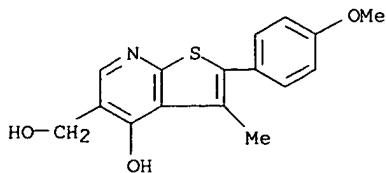
|      | PATENT NO.   | KIND       | DATE     | APPLICATION NO. | DATE     |
|------|--|------------|----------|-----------------|----------|
| PI   | WO 9714697   | A1         | 19970424 | WO 1996-JP3018  | 19961018 |
|      | W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU,<br>IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO,<br>NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM,<br>AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,<br>IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,<br>MR, NE, SN, TD, TG |            |          |                 |          |
|      | AU 9673333   | A1         | 19970507 | AU 1996-73333   | 19961018 |
|      | JP 09169767  | A2         | 19970630 | JP 1996-275672  | 19961018 |
|      | EP 862573  | A1         | 19980909 | EP 1996-935359  | 19961018 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, FI   |            |          |                 |          |
|      | CN 1200124   | A          | 19981125 | CN 1996-197675  | 19961018 |
|      | CN 1063446   | B          | 20010321 |                 |          |
|      | US 5744479   | A          | 19980428 | US 1997-779608  | 19970107 |
| PRAI | JP 1995-271639   | A          | 19951019 |                 |          |
|      | WO 1996-JP3018   | W          | 19961018 |                 |          |
| OS   | MARPAT   | 126:343558 |          |                 |          |
| GI   |  |            |          |                 |          |



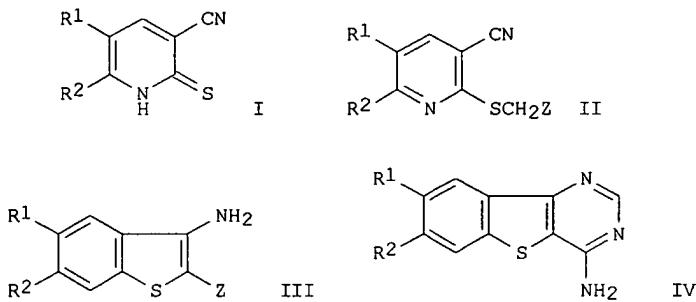
AB Title compds. [I; R1, R2 = H, group bonded through a C, N, O, or S atom; R3 = (substituted) (hetero)cyclyl; R4 = (substituted) heterocyclyl, group bonded through a heteroatom; R5 = H, group bonded through a C atom; n = 0-3; with provisos], were prepared. Thus, 4,7-dihydro-2-(4-isobutyrylaminophenyl)-3-(N-benzyl-N-methylaminomethyl)-5-hydroxy-7-(2,6-difluorobenzyl)-4-oxothieno[2,3-b]pyridine (preparation given) was stirred with isopropylsulfonyl chloride and Et3N in CH<sub>2</sub>Cl<sub>2</sub> to give 51% 4,7-dihydro-2-(4-isobutyrylaminophenyl)-3-(N-benzyl-N-methylaminomethyl)-5-isopropylsulfonyloxy-7-(2,6-difluorobenzyl)-4-oxothieno[2,3-b]pyridine. The latter showed IC<sub>50</sub> = 0.3 nM for inhibition of <sup>125</sup>I-leuprolrelin binding to GnRH receptors.

IT 174072-83-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of thienopyridine derivs. as gonadotropin releasing hormone antagonists)

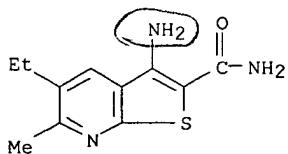
RN 174072-83-4 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-methanol, 4-hydroxy-2-(4-methoxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)



L32 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1996:456309 CAPLUS  
 DN 125:221519  
 TI Synthesis of 3-cyano-5-ethyl-6-methylpyridine-2(1H)-thione and condensed heterocycles based on it  
 AU Rodinovskaya, L. A.; Shestopalov, A. M.; Belukhina, E. V.; Litvinov, V. P.  
 CS Inst. Org. Khim. im. Zelinskogo, Moscow, 117913, Russia  
 SO Khimiya Geterotsiklichesikh Soedinenii (1996), (6), 851-857  
 CODEN: KGSSAQ; ISSN: 0132-6244  
 PB Latvийский Институт Органического Синтеза  
 DT Journal  
 LA Russian  
 GI



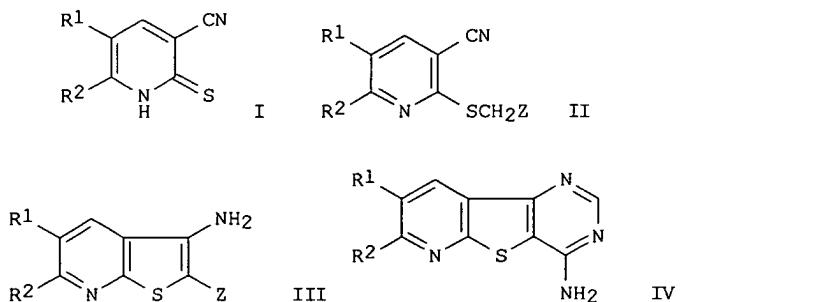
AB Pyridinethiones I ( $R_1 = Et$ ,  $R_2 = Me$ ;  $R_1 = H$ ,  $R_2 = Pr$ ) were prepared by formylation of 2-pentanone, followed by cyclocondensation with  $NCCH_2CSNH_2$ . Alkylation of I with  $C_1CH_2Z$  ( $Z = CONH_2$ ,  $COOMe$ ,  $COOEt$ ,  $COPh$ ,  $C_15H_{31}$ ,  $CN$ ) gave (alkylthio)pyridines (II). Conversions of I and II to thienopyridines (III) and pyridothenopyrimidines (IV) were described.  
 IT 174314-60-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 174314-60-4 CAPLUS  
 CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-ethyl-6-methyl- (9CI) (CA INDEX NAME)



L32 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1996:27901 CAPLUS  
 DN 124:202066  
 TI Synthesis of 3-cyano-5-ethyl-6-methylpyridine-2(1H)-thione and condensed heterocycles based on it  
 AU Rodinovskaya, L. A.; Shestopalov, A. M.; Belukhina, E. V.; Litvinov, V. P.  
 CS Inst. Org. Khim. im. N. D. Zelinskogo, Moscow, Russia  
 SO Khimiya Geterotsiklichesikh Soedinenii (1995), (6), 851-7

CODEN: KGSSAQ; ISSN: 0132-6244

PB Latviiskii Institut Organicheskogo Sinteza  
 DT Journal  
 LA Russian  
 GI



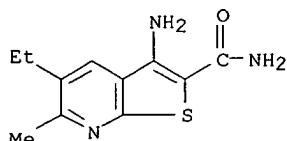
AB Pyridinethiones I ( $R_1 = Et$ ,  $R_2 = Me$ ;  $R_1 = H$ ,  $R_2 = Pr$ ) were prepared by formylation of  $MeCOPr$  and reaction of the unsatd. ketones formed with  $NCCCH_2CSNH_2$ . Alkylation of I with  $ClCH_2Z$  ( $Z = alkyl$ , carbalkoxy,  $COPh$ ,  $CONH_2$ , CN) occurred on the S atom to give II (same  $R_1$ ,  $R_2$ , Z). II were then converted to thienopyridines (III) and pyridothienopyrimidines (IV).

IT 174314-60-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and cyclization with formamide)

RN 174314-60-4 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-ethyl-6-methyl- (9CI) (CA INDEX NAME)



L32 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:998353 CAPLUS

DN 124:202226

TI Preparation of thienopyridinones as gonadotropin-releasing hormone antagonists

IN Furuya, Shuichi; Choh, Nobuo; Kato, Koichi; Hinuma, Shuji

PA Takeda Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 203 pp.

CODEN: PIXXD2

DT Patent

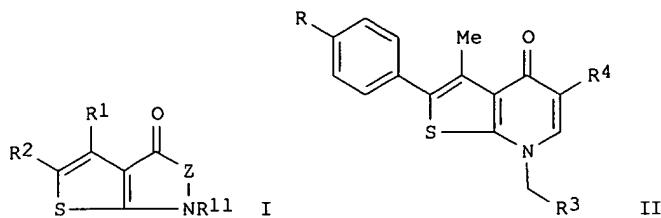
LA English

FAN.CNT 2

|    | PATENT NO. | KIND   | DATE     | APPLICATION NO.  | DATE     |
|----|------------|--|----------|------------------|----------|
| PI | WO 9528405 | A1   | 19951026 | WO 1995-JP728    | 19950414 |
|    | W:         | AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR,<br>KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI,<br>SK, TJ, TT, UA, US, UZ, VN |          |                  |          |
|    | RW:        | KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,<br>LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,<br>SN, TD, TG                 |          |                  |          |
|    | TW 449600  | B  | 20010811 | TW 1995-84103400 | 19950410 |
|    | CA 2186124 | AA   | 19951026 | CA 1995-2186124  | 19950414 |
|    | AU 9522239 | A1   | 19951110 | AU 1995-22239    | 19950414 |
|    | AU 697472  | B2   | 19981008 |                  |          |
|    | EP 756599  | A1   | 19970205 | EP 1995-915318   | 19950414 |

EP 756599 B1 20031126  
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 CN 1146206 A 19970326 CN 1995-192628 19950414  
 CN 1092197 B 20021009  
 HU 76320 A2 19970828 HU 1996-2884 19950414  
 RU 2150470 C1 20000610 RU 1996-120203 19950414  
 NZ 332206 A 20010629 NZ 1995-332206 19950414  
 CZ 290713 B6 20020911 CZ 1996-3028 19950414  
 AT 255113 E 20031215 AT 1995-915318 19950414  
 JP 08295693 A2 19961112 JP 1995-91068 19950417  
 BR 9501736 A 19951114 BR 1995-1736 19950419  
 US 5817819 A 19981006 US 1995-454304 19950616  
 CA 2211969 AA 19960815 CA 1996-2211969 19960207  
 WO 9624597 A1 19960815 WO 1996-JP263 19960207  
 W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS,  
 KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO,  
 RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AZ, BY, KG, KZ,  
 RU, TJ  
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE,  
 IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,  
 NE, SN, TD, TG  
 AU 9646327 A1 19960827 AU 1996-46327 19960207  
 JP 09169768 A2 19970630 JP 1996-21342 19960207  
 EP 808317 A1 19971126 EP 1996-901958 19960207  
 EP 808317 B1 20030910  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE  
 CN 1173868 A 19980218 CN 1996-191854 19960207  
 CN 1064045 B 20010404  
 BR 9600341 A 19980915 BR 1996-341 19960207  
 AT 249464 E 20030915 AT 1996-901958 19960207  
 NO 9604434 A 19961018 NO 1996-4434 19961018  
 FI 9604195 A 19961217 FI 1996-4195 19961018  
 AU 9883169 A1 19981105 AU 1998-83169 19980908  
 AU 713116 B2 19991125  
 US 6187788 B1 20010213 US 1998-164349 19981001  
 CZ 290723 B6 20021016 CZ 2000-2915 20000809  
 US 6514988 B1 20030204 US 2000-672777 20000929  
 PRAI JP 1994-80732 A 19940419  
 JP 1994-195541 A 19940819  
 JP 1994-271010 A 19941104  
 JP 1995-20717 A 19950208  
 JP 1995-40151 A 19950228  
 AU 1995-22239 A3 19950414  
 NZ 1995-283813 A1 19950414  
 US 1995-454304 A2 19950414  
 WO 1995-JP728 W 19950414  
 JP 1995-91068 A 19950417  
 JP 1995-271638 A 19951019  
 WO 1996-JP263 W 19960207  
 US 1998-164349 A3 19981001

OS MARPAT 124:202226  
 GI



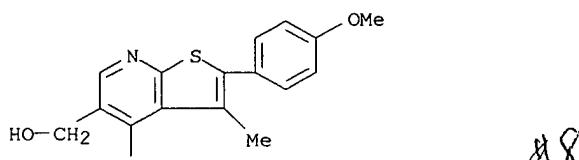
**AB** Title compds. [I; R1,R2 = H, C-, N-, or S-attached group (sic); R11 = ( $\text{CH}_2$ ) $n$ R3; R3 = homocyclic (sic) or heterocyclic group; Z = CR4:CR5; R4 = H, CHO, (esterified or amidated) CO<sub>2</sub>H, etc.; R5 = H, C-attached group; n = 0-3] and I [R1 = ( $\text{CH}_2$ ) $r$ R13; R2 = (un)substituted aryl; R11 = H, (ar)alkyl, etc.; R13 = (un)substituted amino; Z = NR12CO; R12 = H, alkyl, aryl(alkyl), etc.; r = 0-3] were prepared. Thus, 4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>COMe was condensed with NCCH<sub>2</sub>CO<sub>2</sub>Et and the product treated with S/Et<sub>2</sub>NH to give Et 2-amino-4-methyl-5-(4-methoxyphenyl)thiophene-3-carboxylate which was N-alkylated by EtOCH:C(CO<sub>2</sub>Et)<sub>2</sub> and the product cyclized to give, after NaH treatment and condensation with 2-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>Cl, title product II [R = MeO, R3 = C<sub>6</sub>H<sub>4</sub>(OMe)-2, R4 = CO<sub>2</sub>Et]. II [R = NO<sub>2</sub>, R3 = C<sub>6</sub>H<sub>3</sub>F<sub>2</sub>-2,6, R4 = COPh] was converted in 4 steps to title compound III which gave .apprx.85% reduction of mouse plasma testosterone levels at 30mg/kg/day orally for 3 days.

**IT** 174072-83-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of thienopyridinones as gonadotropin-releasing hormone antagonists)

**RN** 174072-83-4 CAPLUS

**CN** Thieno[2,3-b]pyridine-5-methanol, 4-hydroxy-2-(4-methoxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)



11

**L32** ANSWER 13 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

**AN** 1993:671114 CAPLUS

**DN** 119:271114

**TI** Synthesis of some new pyrido[3',2':4,5]thieno[3,2-d]1,2,3-triazines with antianaphylactic activity

**AU** Wagner, G.; Leistner, S.; Vieweg, H.; Krasselt, U.; Prantz, J.

**CS** Fachbereich Biowiss., Univ. Leipzig, Germany

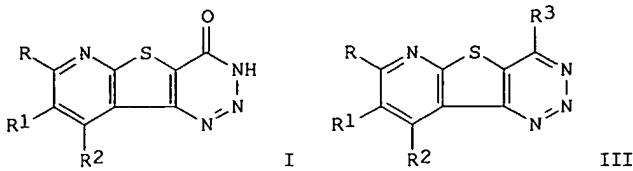
**SO** Pharmazie (1993), 48(7), 514-18

CODEN: PHARAT; ISSN: 0031-7144

**DT** Journal

**LA** German

**GI**



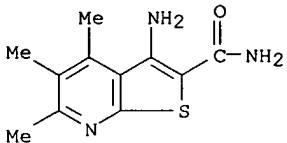
**AB** Some new pyridothienotriazinones I ( $R = Me, Ph, 4\text{-ClC}_6\text{H}_4, 4\text{-BrC}_6\text{H}_4$ , 2-furyl, 2-naphthyl;  $R_1 = H, Me, CH_2Ph, CH_2C_6H_4CN-4$ ;  $R_2 = Ph, Me, 4\text{-ClC}_6\text{H}_4$ , pyridyl, CONH $_2$ , CONHBu, CONHCH $_2$ CH $_2$ OH, piperidinocarbonyl, CO $_2$ Et, CO $_2$ H, 4-BrC $_6$ H $_4$ ) were synthesized from 2-thioxo-1,2-dihydropyridine-3-carbonitriles (II) via 3-amino-thieno[3,2-b]pyridine-2-carboxamides. II were converted to 3-amino-thieno[2,3-b]pyridine-2-carbonitriles which yielded the pyridothienotriazines III ( $R = Ph, Me; R_1 = H, Me, CH_2Ph, CH_2C_6H_4CN-4$ ;  $R_2 = pyridyl, 4\text{-ClC}_6\text{H}_4, CONHBu; R_3 = piperidino, NHNH_2, NHCH_2CH_2NMe_2, NHCH_2CH_2OH, NHBu, NHCH_2CH_2NET_2, NHCH_2C_6H_4Cl-2$ ) via III ( $R_3 = Cl$ ). I ( $R-R_2 = Me; R = Me, R_1 = H, R_2 = 3-, 4\text{-pyridyl}$ ) and III ( $R = Me, R_1 = H, R_2 = CONHBu, R_3 = NHBu$ ) showed respectable antianaphylactic activity.

IT 119003-37-1P 119003-38-2P 119003-39-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(reactant in preparation of antianaphylactic pyridothienotriazines)

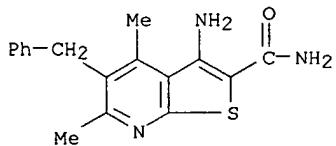
RN 119003-37-1 CAPLUS

CN Thiено[2,3-b]pyridine-2-carboxamide, 3-amino-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



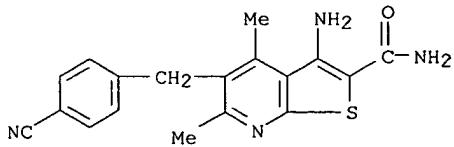
RN 119003-38-2 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,6-dimethyl-5-(phenylmethyl)-  
(9CI) (CA INDEX NAME)



RN 119003-39-3 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[(4-cyanophenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



L32 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

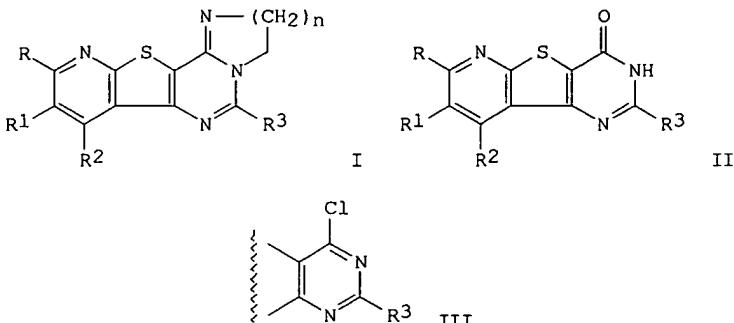
AN 1993:101913 CAPLUS

DN 118:101913

## TI      Synthesis of 2,3-dihydroimidazo[1,2-c]- and 3,4-dihydro-2H-pyrimido[1,2-

c) pyrido[3',2':4,5]thieno[2,3-e]pyrimidines via 4-( $\omega$ -hydroxyalkylamino) derivatives of pyridothienopyrimidines. Part 35. Polycyclic azines with heteroatoms in 1- and 3-position

AU Vieweg, H.; Boehm, N.; Krasselt, U.; Leistner, S.; Wagner, G.  
CS Sekt. Biowiss., Univ. Leipzig, Germany  
SO Pharmazie (1992), 47(10), 751-4  
CODEN: PHARAT; ISSN: 0031-7144  
DT Journal  
LA German  
GI



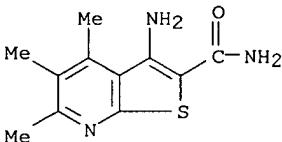
AB Title compds. I ( $R = Me, Ph, 4-BrC_6H_4$ ;  $R1 = H, Me, CH_2Ph, CH_2C_6H_4CN-4$ ;  $R2 = Me, 4-BrC_6H_4$ ;  $R3 = H, Me, Ph$ ;  $n = 1, 2$ ) were prepared from the ketones II via the chlorides III and the hydroxyalkylamines.

IT 119003-37-1 119003-38-2 119003-39-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of, with orthoformate)

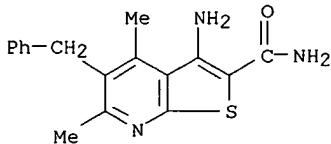
RN 119003-37-1 CAPLUS

CN Thiено[2,3-b]pyridine-2-carboxamide, 3-amino-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



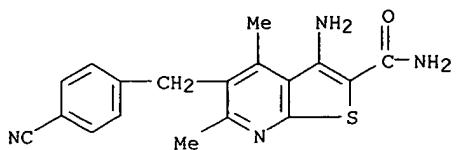
RN 119003-38-2 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,6-dimethyl-5-(phenylmethyl)-  
(9CI) (CA INDEX NAME)



RN 119003-39-3 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[(4-cyanophenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



L32 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1993:80893 CAPLUS

DN 118:80893

TI Synthesis of tetracyclic pyridines from 3-amino-2-(dihydroimidazolyl)- or tetrahydropyrimidinylthieno[2,3-b]pyrimidines by introduction of Cl- or N1-components. 34. Polycyclic azines with heteroatoms in 1- and 3-position

AU Leistner, S.; Krasselt, U.; Dumke, S.; Wagner, G.

CS Sekt. Biowiss., Univ. Leipzig, Leipzig, D-7010, Germany

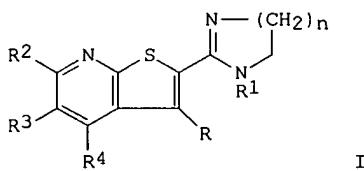
SO Pharmazie (1992), 47(9), 682-6

CODEN: PHARAT; ISSN: 0031-7144

DT Journal

LA German

GI

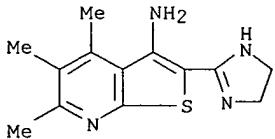
AB Previously prepared thienopyridines I ( $R = NH_2$ ,  $R1 = H$ ;  $R2 = Me$ , Ph, 4-BrC<sub>6</sub>H<sub>4</sub>;  $R3 = H$ , Me;  $R4 = H$ , Me, 4-BrC<sub>6</sub>H<sub>4</sub>;  $n = 1$ , 2) were cyclized with HC(OMe)<sub>3</sub> or EtO<sub>2</sub>CCO<sub>2</sub>Et to give I ( $RR1 = N:CH$ ,  $N:CO_2Et$ ). Treatment of I ( $R = NH_2$ ,  $R1 = H$ ) with CS<sub>2</sub> gave I ( $RR1 = NHCS$ ), which were S-alkylated or hydrolyzed to I ( $RR1 = NHCO$ ). I ( $RR1 = N:N$ ) were obtained from I ( $R = NH_2$ ,  $R1 = H$ ) and NaNO<sub>2</sub>.

IT 141278-06-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of, with orthoformate)

RN 141278-06-0 CAPLUS

CN Thieno[2,3-b]pyridin-3-amine, 2-(4,5-dihydro-1H-imidazol-2-yl)-4,5,6-trimethyl- (9CI) (CA INDEX NAME)

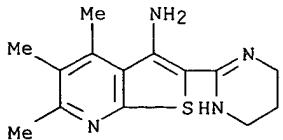


IT 141278-11-7

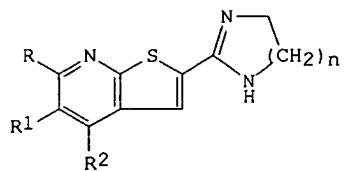
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with orthoformate)

RN 141278-11-7 CAPLUS

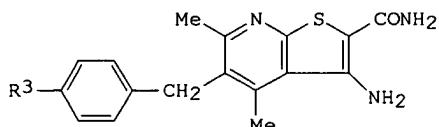
CN Thieno[2,3-b]pyridin-3-amine, 4,5,6-trimethyl-2-(1,4,5,6-tetrahydro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



L32 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:235578 CAPLUS  
 DN 116:235578  
 TI Heteroatom-containing polycyclic azines. 31. Synthesis of imidazolin-2-yl-  
 and 3,4,5,6-tetrahydropyrimidin-2-yl-3-aminothieno[2,3-b]pyridines  
 AU Leistner, S.; Wagner, G.; Krasselt, U.; Dumke, S.  
 CS Sekt. Biowiss., Univ. Leipzig, Leipzig, D-7010, Germany  
 SO Pharmazie (1992), 47(1), 11-14  
 CODEN: PHARAT; ISSN: 0031-7144  
 DT Journal  
 LA German  
 GI



I

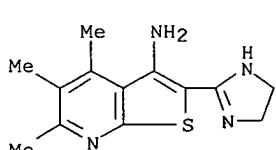


II

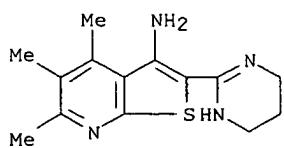
AB The title compds. I ( $n = 1, 2$ ;  $R = Me, Ph, 4-BrC_6H_4$ ;  $R1 = H, Me$ ;  $R2 = H, Me, 4-BrC_6H_4$ ) were prepared by the reaction of diaminoalkanes and CS2 with the aminothieno[2,3-b]pyridines or cyanomethylthiopyridines, or from aminothieno[2,3-b]pyridinecarboxamides with diaminoalkanes. The reaction of the aminothieno[2,3-b]pyridinecarboxamides II ( $R3 = cyano$ ) with diaminoalkanes gave II ( $R3 = 2\text{-imidazolinyl}, 2\text{-tetrahydropyrimidinyl}$ ). Compds. with two imidazoline or two tetrahydropyrimidine substituents were similarly synthesized.

IT 141278-06-0P 141278-11-7P 141278-17-3P  
 141278-18-4P 141278-19-5P 141278-20-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 141278-06-0 CAPLUS  
 CN Thieno[2,3-b]pyridin-3-amine, 2-(4,5-dihydro-1H-imidazol-2-yl)-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



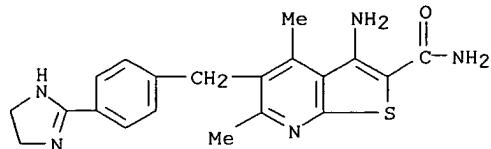
RN 141278-11-7 CAPLUS  
 CN Thieno[2,3-b]pyridin-3-amine, 4,5,6-trimethyl-2-(1,4,5,6-tetrahydro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



10634162

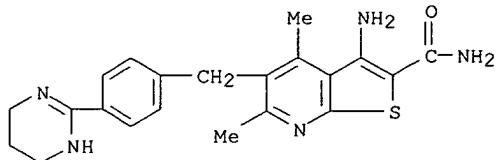
RN 141278-17-3 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



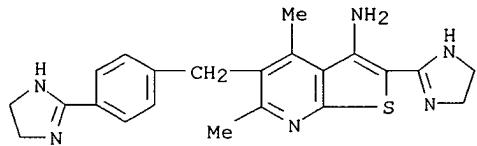
RN 141278-18-4 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,6-dimethyl-5-[[4-(1,4,5,6-tetrahydro-2-pyrimidinyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



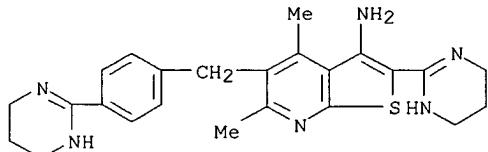
RN 141278-19-5 CAPLUS

CN Thieno[2,3-b]pyridin-3-amine, 2-(4,5-dihydro-1H-imidazol-2-yl)-5-[[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



RN 141278-20-8 CAPLUS

CN Thieno[2,3-b]pyridin-3-amine, 4,6-dimethyl-2-(1,4,5,6-tetrahydro-2-pyrimidinyl)-5-[[4-(1,4,5,6-tetrahydro-2-pyrimidinyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

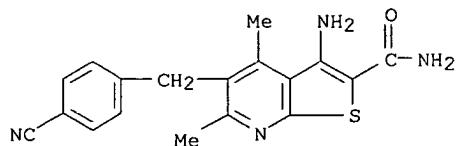


IT 119003-39-3

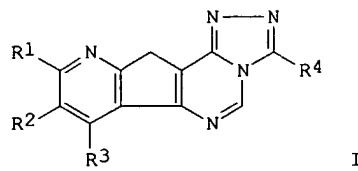
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with ethylenediamine and carbon disulfide)

RN 119003-39-3 CAPLUS

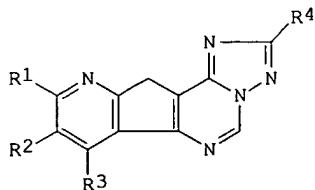
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[(4-cyanophenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



L32 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:151702 CAPLUS  
 DN 116:151702  
 TI Multicyclic azines with hetero atom in 1- and 3-positions. 29. Synthesis of pyrido[3',2':4,5]thieno[2,3-e]-1,2,4-triazolo[4,3-c]pyrimidines and the isomeric pyrido[3',2':4,5]thieno[2,3-c]-1,2,4-triazolo[2,3-c]pyrimidines  
 AU Wagner, G.; Krasselt, U.; Leistner, S.  
 CS Sekt. Biowiss., Univ. Leipzig, Leipzig, D-7010, Germany  
 SO Pharmazie (1991), 46(6), 409-12  
 CODEN: PHARAT; ISSN: 0031-7144  
 DT Journal  
 LA German  
 OS CASREACT 116:151702  
 GI



I



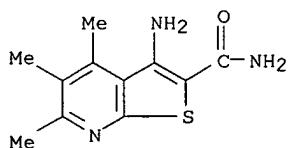
II

AB The isomeric title compds. I and II and (R1 = R2 = R3 = Me, R4 = H, Me, CO<sub>2</sub>Et, CH<sub>2</sub>CO<sub>2</sub>Et; R1 = R3 = Me, R2 = H, R4 = H, Me; R1 = Ph, R2 = R3 = H, R4 = H, Me) were synthesized. The synthesis of I was achieved by the reaction of the 4-hydrazinopyrimidine derivs. with HC(OEt)<sub>3</sub>/Ac<sub>2</sub>O, Ac<sub>2</sub>O, di-Et oxalate and di-Et malonate, resp. I were transformed to the thermodynamically more stable II. The condensed pyrimidines II were also synthesized by the reaction of the 3-amino-2-iminopyrimidine derivs. or the 4-hydrazinopyrimidine derivs. with different C-1 components.

IT 119003-37-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with tri-Et orthoformate)

RN 119003-37-1 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



L32 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1991:632279 CAPLUS  
 DN 115:232279  
 TI Preparation of 7-(biphenylmethyl)-4-oxothieno[2,3-b]pyrimidine-5-carboxylates as angiotensin II antagonists  
 IN Morimoto, Akira; Nishikawa, Kohei; Naka, Takehiko  
 PA Takeda Chemical Industries, Ltd., Japan  
 SO Eur. Pat. Appl., 47 pp.

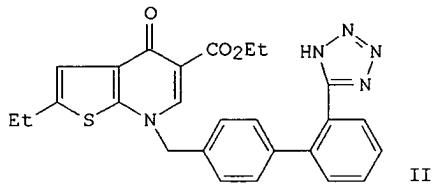
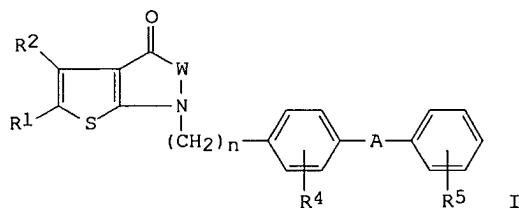
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | EP 443568   | A1   | 19910828 | EP 1991-102513  | 19910221 |
|      | EP 443568   | B1   | 19960612 |                 |          |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |          |
|      | CA 2036618  | AA   | 19910823 | CA 1991-2036618 | 19910219 |
|      | CA 2036618  | C    | 20021029 |                 |          |
|      | JP 07061986   | A2   | 19950307 | JP 1991-27273   | 19910221 |
|      | JP 3035745  | B2   | 20000424 |                 |          |
|      | AT 139233   | E    | 19960615 | AT 1991-102513  | 19910221 |
|      | US 5284661  | A    | 19940208 | US 1993-47368   | 19930419 |
| PRAI | JP 1990-42125   | A    | 19900222 |                 |          |
|      | JP 1991-3958  | A    | 19910117 |                 |          |
|      | US 1991-657051  | B1   | 19910219 |                 |          |
| OS   | MARPAT 115:232279   |      |          |                 |          |
| GI   |   |      |          |                 |          |



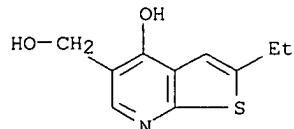
AB Title compds. [I; R1, R2 = H, halo, cyano, NO<sub>2</sub>, acylamino, (substituted) hydrocarbyl; R3 = H, (substituted) alkyl, alkenyl, COX; X = H, alkoxy, OH, halo, amino; R4 = H, halo, NO<sub>2</sub>; R5 = residue capable of forming an anion or convertible to an anion; R6 = H, (substituted) alkyl, alkenyl; R7 = (substituted) hydrocarbyl; A = bond, spacer group; n = 1,2; W = CR<sub>3</sub>:CR<sub>6</sub>, NR<sub>7</sub>CO], were prepared. Thus, Et 2-ethyl-4-hydroxythieno[2,3-b]pyridine-5-carboxylate, 4-(2'-cyanophenyl)benzyl chloride, and K<sub>2</sub>CO<sub>3</sub> were stirred at 90° for 2 h to give 60% coupling product, which was stirred with Na<sub>3</sub>N and NH<sub>4</sub>Cl in DMF at 110° to give 13% title compound II. Several I at 30 mg/kg orally in rats inhibited the pressor response of angiotensin II by ≥70%. Tablets were prepared containing II.

IT 137070-19-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of as intermediate for angiotensin II antagonist)

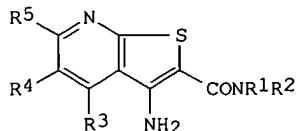
RN 137070-19-0 CAPLUS

CN Thieno[2,3-b]pyridine-5-methanol, 2-ethyl-4-hydroxy- (9CI) (CA INDEX NAME)



10634162

AN 1990:515227 CAPLUS  
DN 113:115227  
TI Polycyclic pyridines. Part 8. Synthesis of new primary, secondary and tertiary 3-aminothieno[2,3-b]pyridine-2-carboxamides by different pathways  
AU Wagner, G.; Vieweg, H.; Leistner, S.; Boehm, N.; Krasselt, U.; Hanfeld, Vera; Prantz, J.; Grupe, Renate  
CS Sekt. Biowiss., Karl-Marx-Univ., Leipzig, DDR-7010, Ger. Dem. Rep.  
SO Pharmazie (1990), 45(2), 102-9  
CODEN: PHARAT; ISSN: 0031-7144  
DT Journal  
LA German  
OS CASREACT 113:115227  
GI

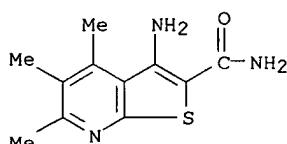


AB The treatment of 2-thioxo-1,2-dihydropyridine-3-carbonitriles with  $\text{C}_1\text{CH}_2\text{CO}_2\text{NR}_1\text{R}_3$  ( $\text{R}_1, \text{R}_2 = \text{H, Me, Et}$ ) gave 3-aminothieno[2,3-b]pyridinecarboxylic acid amides I [ $\text{R}_1 = \text{H, Et, Me}; \text{R}_2 = \text{H, Et, Bu, cyclohexyl, CH}_2\text{CH}_2\text{OH, CH}_2\text{CO}_2\text{H}; \text{R}_1\text{R}_2 = (\text{CH}_2)_5; \text{R}_3 = \text{Me, Ph, 4-BrC}_6\text{H}_4, 3\text{-pyridyl, CONH}_2, \text{etc}; \text{R}_4 = \text{H, Me, CH}_2\text{C}_6\text{H}_4(\text{CN})-4; \text{R}_5 = \text{Me, C}_6\text{H}_4\text{Cl-4, Ph, C}_6\text{H}_4\text{Br-4, furyl, naphthyl, OH}.$  Some of the compds. thus prepared, e.g. I ( $\text{R}_1 = \text{R}_2 = \text{R}_4 = \text{H}, \text{R}_3 = \text{Me}, \text{R}_5 = \text{Ph}$ ) and I ( $\text{R}_1 = \text{R}_4 = \text{H}, \text{R}_2 = \text{CH}_2\text{CH}_2\text{OH}, \text{R}_3 = \text{R}_5 = \text{Me}$ ) showed activity as antiallergics in the passive cutaneous anaphylaxis test in rats.

IT 119003-37-1P 119003-38-2P 119003-39-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

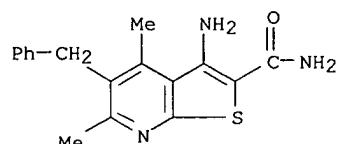
RN 119003-37-1 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



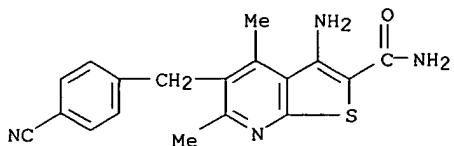
RN 119003-38-2 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,6-dimethyl-5-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 119003-39-3 CAPLUS

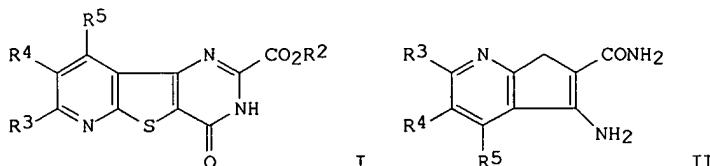
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[(4-cyanophenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



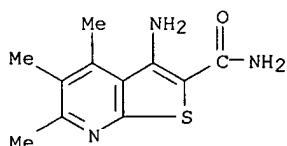
L32 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1989:95203 CAPLUS  
 DN 110:95203  
 TI Preparation of 4-oxo-3,4-dihydropyrido[3',4',5]thieno[3,2-d]pyrimidine-2-carboxylates as drugs  
 IN Vieweg, Helmut; Leistner, Siegried; Wagner, Guenther; Krasselt, Uwe;  
 Lohmann, Dieter; Laban, Gunter  
 PA Karl-Marx-Universitaet Leipzig, Ger. Dem. Rep.  
 SO Ger. (East), 4 pp.  
 CODEN: GEXXA8  
 DT Patent  
 LA German  
 FAN.CNT 1

| PATENT NO.          | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------------|------|----------|-----------------|----------|
| PI DD 258014        | A1   | 19880706 | DD 1987-300313  | 19870302 |
| PRAI DD 1987-300313 |      | 19870302 |                 |          |
| OS MARPAT 110:95203 |      |          |                 |          |

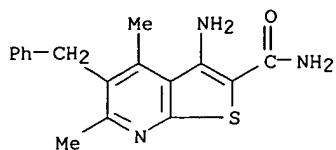
GI



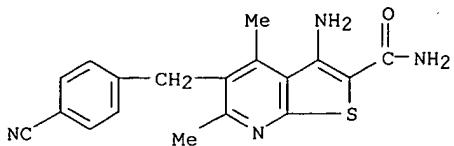
AB The title compds. [I; R2 = C1-3 alkyl; R3 = Me, (substituted) alkyl; R4 = H, Me, (substituted) aryl], useful as potential drugs and intermediates, were prepared by cyclocondensation of aminothienopyrimidinecarboxamides II with R1O2CCO2R1 (R1 = C1-3 alkyl) in R2ONa/R2OH. II (R3 = Me, R4 = H, R5 = Ph) was heated briefly in MeOH/NaOMe; di-Et oxalate was added and the mixture was refluxed for 30 min to give 85% I (R2 = Et, R3 = Me, R4 = H, R5 = Ph).  
 IT 119003-37-1 119003-38-2 119003-39-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation of, with di-Et oxalate)  
 RN 119003-37-1 CAPLUS  
 CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



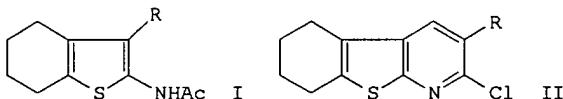
RN 119003-38-2 CAPLUS  
 CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,6-dimethyl-5-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 119003-39-3 CAPLUS  
 CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[(4-cyanophenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)

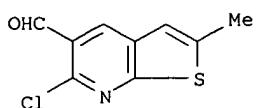


L32 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1981:550487 CAPLUS  
 DN 95:150487  
 TI A versatile new synthesis of quinolines and related fused pyridines. Part 7. The conversion of acetamidothiophenes into thienopyridines  
 AU Meth-Cohn, Otto; Narine, Brahma; Tarnowski, Brian  
 CS Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5 4WT, UK  
 SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1981), (5), 1531-6  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DT Journal  
 LA English  
 OS CASREACT 95:150487  
 GI

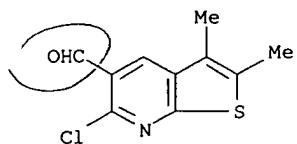


AB Reaction of DMF and POCl<sub>3</sub> with 5-substituted 2-acetamidothiophenes (hot ClCH<sub>2</sub>CH<sub>2</sub>Cl) gave good yields of 2-acetamidothiophene-3-carboxaldehydes. E.g., benzothiophene I (R = H) reacted with DMF-POCl<sub>3</sub> (1:1 mol, 15 min) to give 76% aldehyde I (R = CHO) and 12% thienopyridine II (R = H). Under similar conditions with 1:3 DMF-POCl<sub>3</sub> for 1 h, 80% II (R = H) and 8% I (R = CHO) were formed. When POCl<sub>3</sub> was used as solvent for the reaction (3:7 DMF-POCl<sub>3</sub>), 88% II (R = CHO) was formed. Thieno[3,2-b]- and -[3,4-b]pyridines were similarly prepared from 3-acetamido- and 2,5-dimethyl-3-acetamidothiophene, resp. The mechanisms of the reactions are discussed.

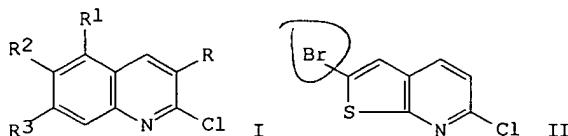
IT 68236-28-2P 68236-30-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, by reaction of Vilsmeier reagent on acetamidothiophenes)  
 RN 68236-28-2 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-carboxaldehyde, 6-chloro-2-methyl- (9CI) (CA INDEX NAME)



RN 68236-30-6 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-carboxaldehyde, 6-chloro-2,3-dimethyl- (9CI) (CA  
 INDEX NAME)

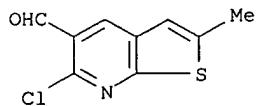


L32 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1978:597302 CAPLUS  
 DN 89:197302  
 TI A versatile new synthesis of quinolines, thienopyridines and related fused pyridines  
 AU Meth-Cohn, O.; Narine, Bramha  
 CS Dep. Chem. Appl. Chem., Univ. Salford, Salford, UK  
 SO Tetrahedron Letters (1978), (23), 2045-8  
 CODEN: TELEAY; ISSN: 0040-4039  
 DT Journal  
 LA English  
 OS CASREACT 89:197302  
 GI



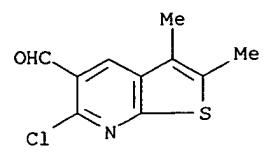
AB Quinolines I [(R = H)(R1 = R2 = H, R3 = OMe, Me; R1 = H, OMe, R2 = R3 = OMe)] were prepared (59-73%) by Vilsmeier formylation of 3,4,5-R1R2R3C6H2NHAc with POC13/DMF (3:1), whereas the corresponding formylquinolines I (R = CHO) were obtained (64-92%) using POC13/DMF (7:3). Thienopyridines and their formyl derivs. were similarly prepared in good yield by Vilsmeier formylation of acetamidothiophenes. E.g., thienopyridine II was obtained (66%) by treatment of 2-acetamido-5-bromothiophene with POC13/DMF (3:1).

IT 68236-28-2P 68236-30-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 68236-28-2 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-carboxaldehyde, 6-chloro-2-methyl- (9CI) (CA  
 INDEX NAME)



RN 68236-30-6 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-carboxaldehyde, 6-chloro-2,3-dimethyl- (9CI) (CA  
 INDEX NAME)

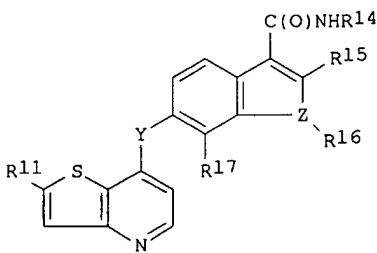
10634162



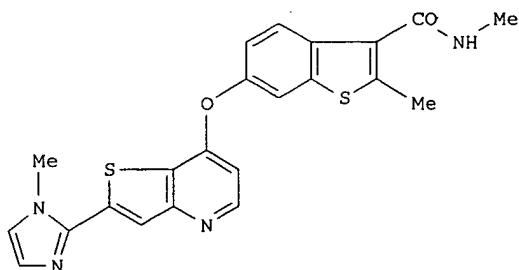
10634162

=> d 1-9 bib abs hitstr

L16 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:1006988 CAPLUS  
DN 140:59632  
TI Preparation of benzofused heteroaryl amide derivatives of  
**thienopyridines** as tyrosine kinase inhibitors useful against  
hyperproliferative disorders  
IN Romines, William Henry, III; Kania, Robert Steven; Lou, Jihong; Collins,  
Michael Raymond; Cripps, Stephan James; He, Mingying; Zhou, Ru; Palmer,  
Cynthia Louise; Deal, Judith Gail  
PA Pfizer Inc., USA  
SO PCT Int. Appl., 194 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
-----  
PI WO 2003106462 A1 20031224 WO 2003-IB2393 20030604  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,  
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,  
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG  
US 2004009965 A1 20040115 US 2003-460010 20030611  
PRAI US 2002-389110P P 20020614  
OS MARPAT 140:59632  
GI



I



II

AB The invention relates to benzofused heteroaryl amide derivs. of  
**thienopyridines** (shown as I; variables defined below; e.g. II) and  
to prodrugs or metabolites thereof, or pharmaceutically acceptable salts  
or solvates of said compds., prodrugs, and metabolites. The invention  
also relates to pharmaceutical compns. containing I and to methods of treating  
hyperproliferative disorders in a mammal by administering I. Inhibitory  
activities of >200 examples of I are tabulated for a number of tyrosine  
kinases. Also, pharmacokinetics of 19 examples of I in mice and metabolism in  
human liver microsomes were analyzed. Although the methods of preparation are

not claimed, 140 example preps. are included. For example, II was prepared in 5 steps starting from 3-methoxybenzenethiol and bromoacetaldehyde di-Et acetal and involving intermediates 1-[(2,2-diethoxyethyl)sulfanyl]-3-methoxybenzene, 6-methoxy-2-methylbenzo[b]thiophene, 6-methoxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide, and 6-hydroxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide; the last step comprises reaction of 7-chloro-2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridine and 6-hydroxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide (40%). For I: Y is NH, O, S, or CH<sub>2</sub>; Z is O, S, or N; R<sub>14</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylamino, C<sub>1</sub>-C<sub>6</sub> alkylhydroxy, C<sub>3</sub>-C<sub>10</sub> cycloalkylamino, or methylureido group; R<sub>15</sub> and R<sub>17</sub> = H, halo, or a C<sub>1</sub>-C<sub>6</sub> alkyl group (un)substituted by ≥1 R<sub>5</sub> groups. R<sub>16</sub> is H or a C<sub>1</sub>-C<sub>6</sub> alkyl group when Z is N, and R<sub>16</sub> is absent when Z is O or S; R<sub>11</sub> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C(O)NR<sub>12</sub>R<sub>13</sub>, C(O)(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>(5 to 10 membered heterocyclic), (CH<sub>2</sub>)<sub>t</sub>NR<sub>12</sub>R<sub>13</sub>, SO<sub>2</sub>NR<sub>12</sub>R<sub>13</sub> or CO<sub>2</sub>R<sub>12</sub>. Each R<sub>5</sub> = halo, cyano, nitro, trifluoromethoxy, trifluoromethyl, azido, C(O)R<sub>8</sub>, C(O)OR<sub>8</sub>, OC(O)R<sub>8</sub>, OC(O)OR<sub>8</sub>, NR<sub>6</sub>C(O)R<sub>7</sub>, C(O)NR<sub>6</sub>R<sub>7</sub>, NR<sub>6</sub>R<sub>7</sub>, OR<sub>9</sub>, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> alkylamino, (CH<sub>2</sub>)<sub>j</sub>O(CH<sub>2</sub>)<sub>q</sub>NR<sub>6</sub>R<sub>7</sub>, (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>q</sub>OR<sub>9</sub>, (CH<sub>2</sub>)<sub>t</sub>OR<sub>9</sub>, S(O)<sub>j</sub>(C<sub>1</sub>-C<sub>6</sub> alkyl), (CH<sub>2</sub>)<sub>t</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>j</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>q</sub>(5 to 10 membered heterocyclic), C(O)(CH<sub>2</sub>)<sub>t</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>j</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>q</sub>(5 to 10 membered heterocyclic), (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>(CH<sub>2</sub>)<sub>q</sub>N R<sub>6</sub>R<sub>7</sub>, (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>CH<sub>2</sub>C(O)NR<sub>6</sub>R<sub>7</sub>, (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>(CH<sub>2</sub>)<sub>q</sub>NR<sub>9</sub>C(O)R<sub>8</sub>, (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>(CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>q</sub>OR<sub>9</sub>, (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>j</sub>(C<sub>1</sub>-C<sub>6</sub> alkyl), (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>(CH<sub>2</sub>)<sub>t</sub>R<sub>6</sub>, SO<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), and SO<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>(5 to 10 membered heterocyclic). Each R<sub>6</sub> and R<sub>7</sub> = H, OH, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, (CH<sub>2</sub>)<sub>t</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>q</sub>OR<sub>9</sub>, and (CH<sub>2</sub>)<sub>t</sub>OR<sub>9</sub>; addnl. details including provisos are given in the claims.

IT 638216-89-4P 638217-02-4P 638217-10-4P

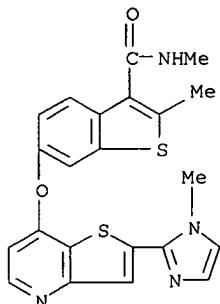
#### 638217-22-8P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzofused heteroaryl amide derivs. of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders)

RN 638216-89-4 CAPLUS

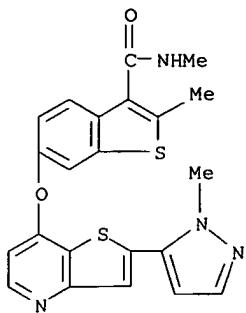
CN Benzo[b]thiophene-3-carboxamide, N,2-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



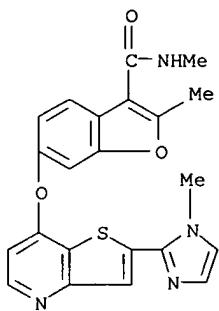
RN 638217-02-4 CAPLUS

CN Benzo[b]thiophene-3-carboxamide, N,2-dimethyl-6-[(2-(1-methyl-1H-pyrazol-5-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

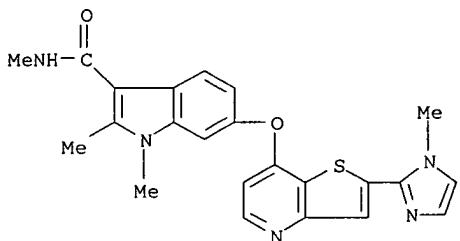
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RN 638217-10-4 CAPIUS  
CN 3-Benzofurancarboxamide, N,2-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RN 638217-22-8 CAPIUS  
CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



IT 638217-27-3P 638217-32-OP 638217-37-5P  
638217-41-1P 638217-45-5P 638217-47-7P  
638217-48-8P 638217-56-8P 638217-59-1P  
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638218-15-2P 638218-21-OP 638218-22-1P  
638218-29-8P 638218-36-7P 638218-39-0P  
638218-46-9P 638218-52-7P 638218-56-1P  
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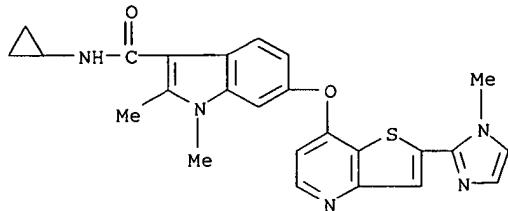
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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(drug candidate; preparation of benzofused heteroaryl amide derivs. of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders)

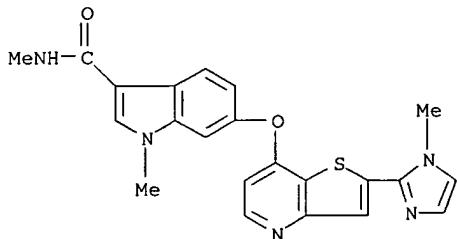
RN 638217-27-3 CAPLUS

CN 1H-Indole-3-carboxamide, N-cyclopropyl-1,2-dimethyl-6-[[2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



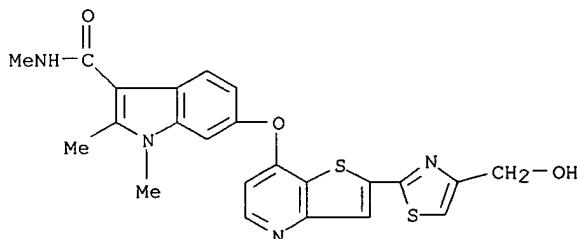
RN 638217-32-0 CAPLUS

CN 1H-Indole-3-carboxamide, N,1-dimethyl-6-[[2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



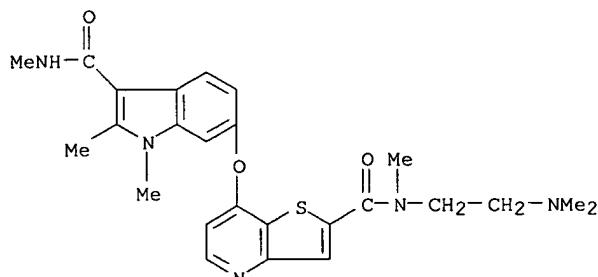
RN 638217-37-5 CAPLUS

CN 1H-Indole-3-carboxamide, 6-[[2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl]oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)



RN 638217-41-1 CAPLUS

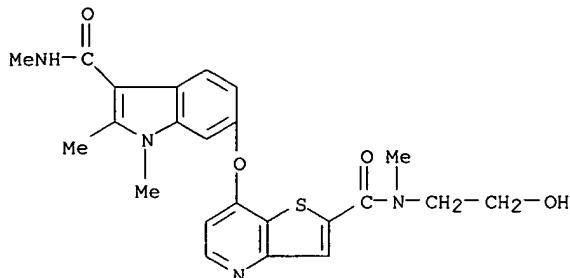
CN Thieno[3,2-b]pyridine-2-carboxamide, N-[2-(dimethylamino)ethyl]-7-[[1,2-dimethyl-3-[(methylamino)carbonyl]-1H-indol-6-yl]oxy]-N-methyl- (9CI) (CA INDEX NAME)



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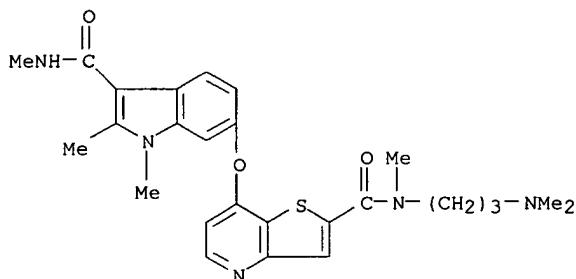
RN 638217-45-5 CAPLUS

CN Thieno[3,2-b]pyridine-2-carboxamide, 7-[(1,2-dimethyl-3-[(methylamino)carbonyl]-1H-indol-6-yl)oxy]-N-(2-hydroxyethyl)-N-methyl- (9CI) (CA INDEX NAME)



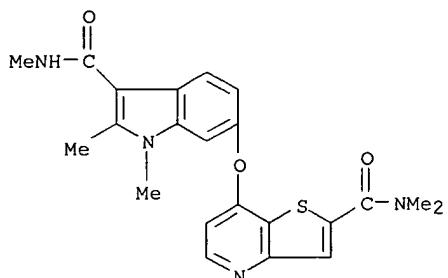
RN 638217-47-7 CAPLUS

CN Thieno[3,2-b]pyridine-2-carboxamide, N-[3-(dimethylamino)propyl]-7-[(1,2-dimethyl-3-[(methylamino)carbonyl]-1H-indol-6-yl)oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 638217-48-8 CAPLUS

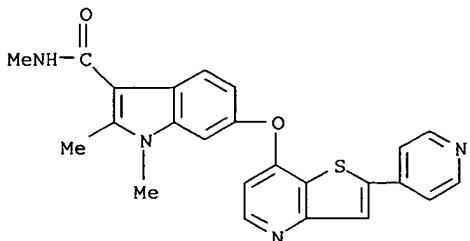
CN Thieno[3,2-b]pyridine-2-carboxamide, 7-[(1,2-dimethyl-3-[(methylamino)carbonyl]-1H-indol-6-yl)oxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



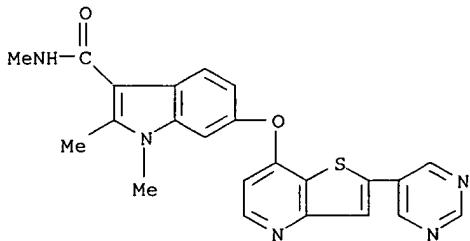
RN 638217-56-8 CAPLUS

CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-(4-pyridinyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

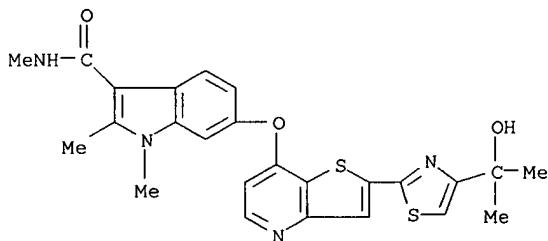
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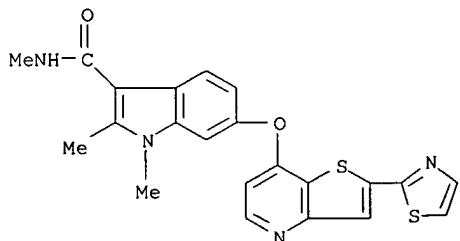
RN 638217-59-1 CAPIUS  
CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-(5-pyrimidinyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



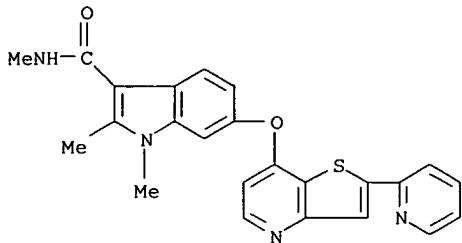
RN 638217-60-4 CAPIUS  
CN 1H-Indole-3-carboxamide, 6-[(2-[4-(1-hydroxy-1-methylethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)



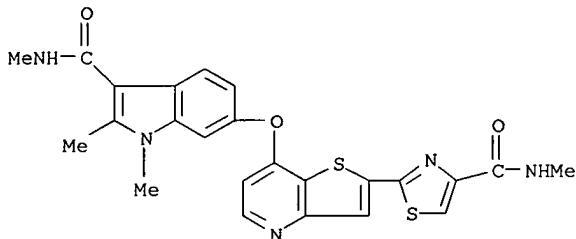
RN 638217-61-5 CAPIUS  
CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-(2-thiazolyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



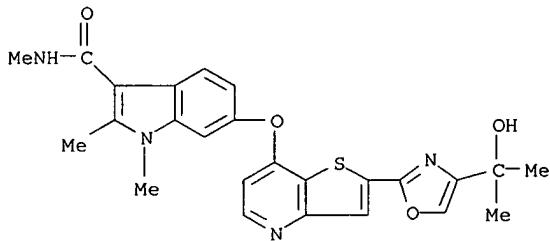
RN 638217-63-7 CAPIUS  
CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-(2-pyridinyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



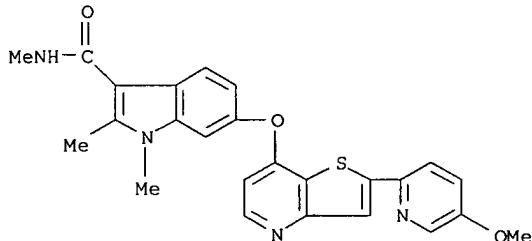
RN 638217-67-1 CAPLUS  
CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-[4-(methylamino)carbonyl]-2-thiazolyl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



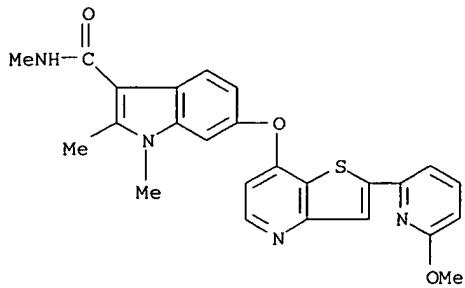
RN 638217-77-3 CAPLUS  
CN 1H-Indole-3-carboxamide, 6-[(2-[4-(1-hydroxy-1-methylethyl)-2-oxazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)



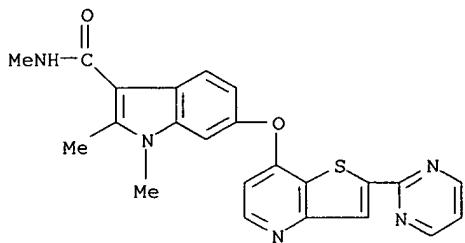
RN 638217-79-5 CAPLUS  
CN 1H-Indole-3-carboxamide, 6-[(2-(5-methoxy-2-pyridinyl)thieno[3,2-b]pyridin-7-yl)oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)



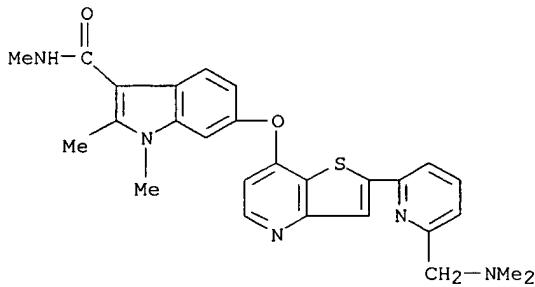
RN 638217-81-9 CAPLUS  
CN 1H-Indole-3-carboxamide, 6-[(2-(6-methoxy-2-pyridinyl)thieno[3,2-b]pyridin-7-yl)oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)



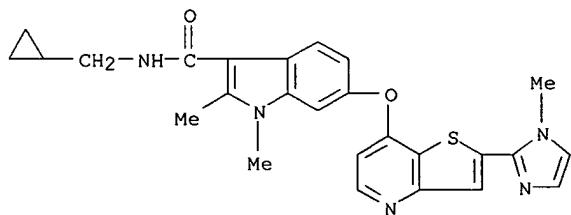
RN 638217-83-1 CAPLUS  
 CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-(2-pyrimidinyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RN 638217-88-6 CAPLUS  
 CN 1H-Indole-3-carboxamide, 6-[[2-[6-[(dimethylamino)methyl]-2-pyridinyl]thieno[3,2-b]pyridin-7-yl]oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)

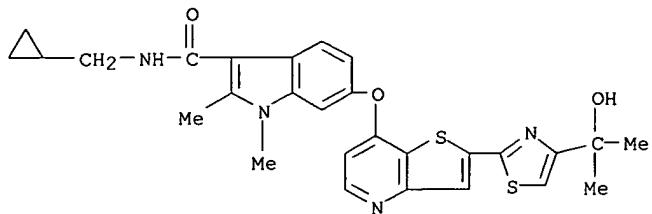


RN 638217-93-3 CAPLUS  
 CN 1H-Indole-3-carboxamide, N-(cyclopropylmethyl)-1,2-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

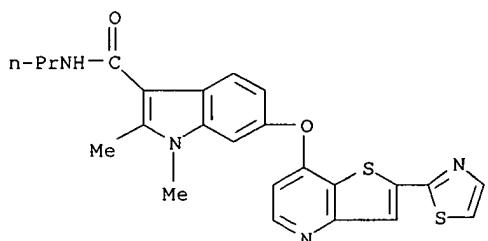


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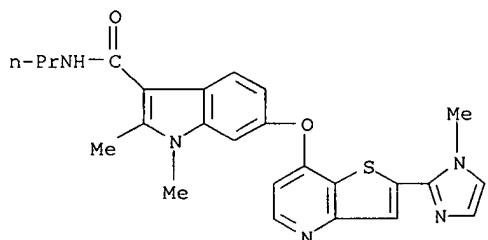
RN 638217-95-5 CAPLUS  
CN 1H-Indole-3-carboxamide, N-(cyclopropylmethyl)-6-[[2-[4-(1-hydroxy-1-methylethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl]oxy]-1,2-dimethyl- (9CI) (CA INDEX NAME)



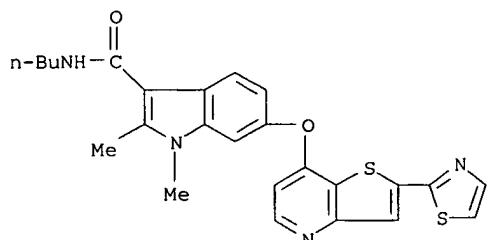
RN 638218-00-5 CAPLUS  
CN 1H-Indole-3-carboxamide, 1,2-dimethyl-N-propyl-6-[[2-(2-thiazolyl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



RN 638218-01-6 CAPLUS  
CN 1H-Indole-3-carboxamide, 1,2-dimethyl-6-[[2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl]oxy]-N-propyl- (9CI) (CA INDEX NAME)



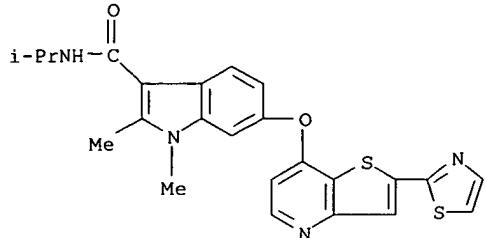
RN 638218-04-9 CAPLUS  
CN 1H-Indole-3-carboxamide, N-butyl-1,2-dimethyl-6-[[2-(2-thiazolyl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



RN 638218-12-9 CAPLUS

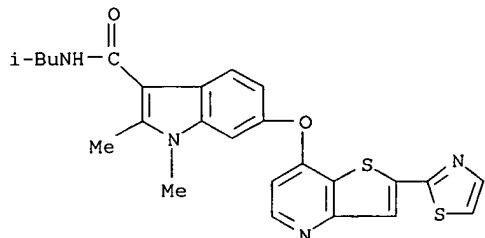
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CN 1H-Indole-3-carboxamide, 1,2-dimethyl-N-(1-methylethyl)-6-[(2-(2-thiazolyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



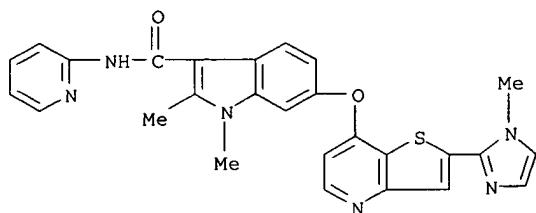
RN 638218-15-2 CAPLUS

CN 1H-Indole-3-carboxamide, 1,2-dimethyl-N-(2-methylpropyl)-6-[(2-(2-thiazolyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



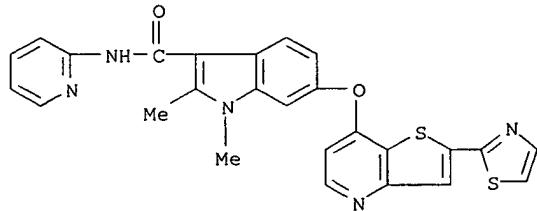
RN 638218-21-0 CAPLUS

CN 1H-Indole-3-carboxamide, 1,2-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 638218-22-1 CAPLUS

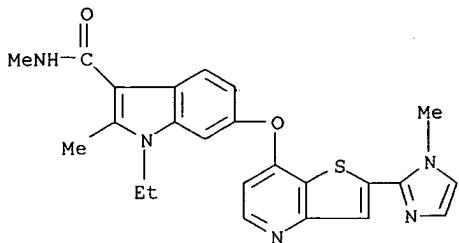
CN 1H-Indole-3-carboxamide, 1,2-dimethyl-N-2-pyridinyl-6-[(2-(2-thiazolyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



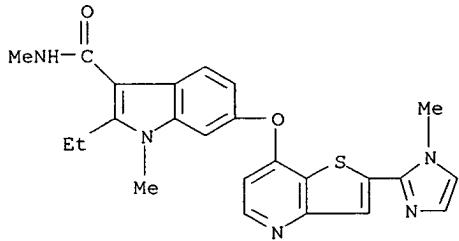
RN 638218-29-8 CAPLUS

CN 1H-Indole-3-carboxamide, 1-ethyl-N,2-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

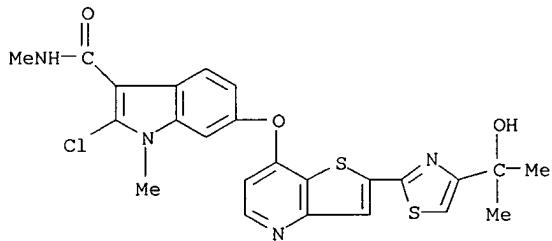
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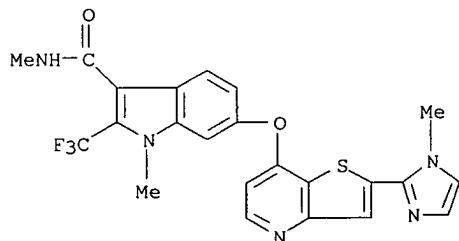
RN 638218-36-7 CAPLUS  
CN 1H-Indole-3-carboxamide, 2-ethyl-N,1-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



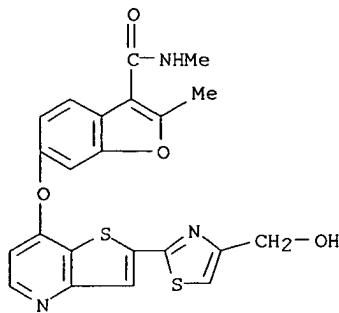
RN 638218-39-0 CAPLUS  
CN 1H-Indole-3-carboxamide, 2-chloro-6-[(2-[4-(1-hydroxy-1-methylethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N,1-dimethyl- (9CI) (CA INDEX NAME)



RN 638218-46-9 CAPLUS  
CN 1H-Indole-3-carboxamide, N,1-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

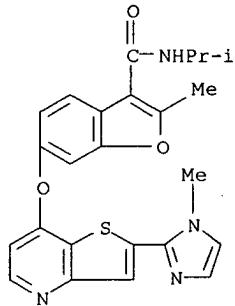


RN 638218-52-7 CAPLUS  
CN 3-Benzofurancarboxamide, 6-[(2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N,2-dimethyl- (9CI) (CA INDEX NAME)



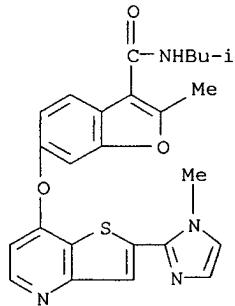
RN 638218-56-1 CAPLUS

CN 3-Benzofurancarboxamide, 2-methyl-N-(1-methylethyl)-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RN 638218-59-4 CAPLUS

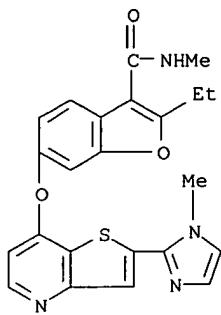
CN 3-Benzofurancarboxamide, 2-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)



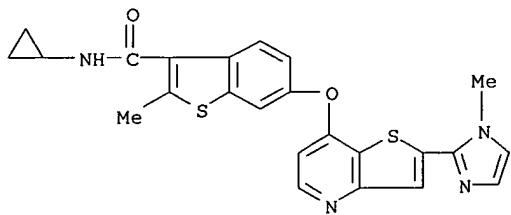
RN 638218-84-5 CAPLUS

CN 3-Benzofurancarboxamide, 2-ethyl-N-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

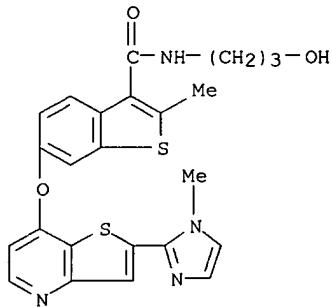
10634162



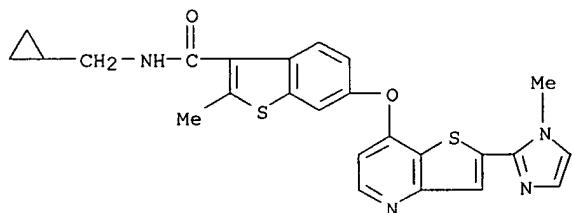
RN 638219-42-8 CAPLUS  
CN Benzo[b]thiophene-3-carboxamide, N-cyclopropyl-2-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RN 638219-44-0 CAPLUS  
CN Benzo[b]thiophene-3-carboxamide, N-(3-hydroxypropyl)-2-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



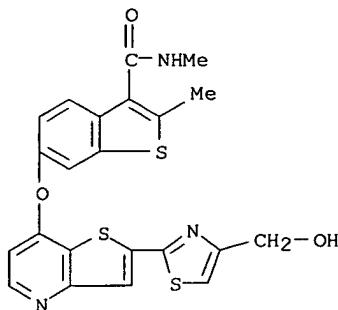
RN 638219-45-1 CAPLUS  
CN Benzo[b]thiophene-3-carboxamide, N-(cyclopropylmethyl)-2-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RN 638219-66-6 CAPLUS

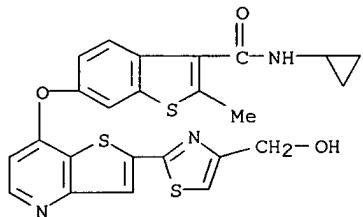
10634162

CN Benzo[b]thiophene-3-carboxamide, 6-[[2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl]oxy]-N,2-dimethyl- (9CI) (CA INDEX NAME)



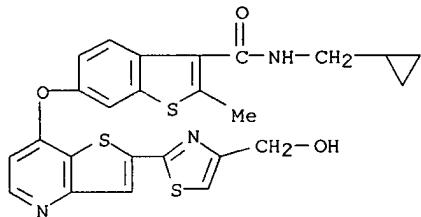
RN 638219-68-8 CAPLUS

CN Benzo[b]thiophene-3-carboxamide, N-cyclopropyl-6-[[2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 638219-73-5 CAPLUS

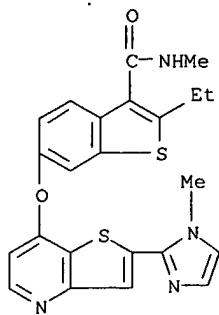
CN Benzo[b]thiophene-3-carboxamide, N-(cyclopropylmethyl)-6-[[2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 638219-88-2 CAPLUS

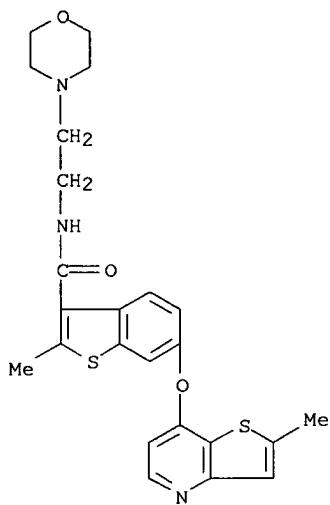
CN Benzo[b]thiophene-3-carboxamide, 2-ethyl-N-methyl-6-[[2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)

10634162



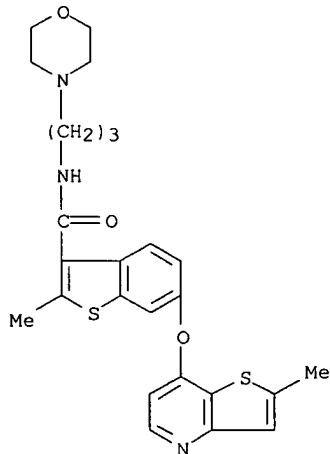
RN 638220-05-0 CAPLUS

CN Benzo[b]thiophene-3-carboxamide, 2-methyl-6-[(2-methylthieno[3,2-b]pyridin-7-yl)oxy]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 638220-07-2 CAPLUS

CN Benzo[b]thiophene-3-carboxamide, 2-methyl-6-[(2-methylthieno[3,2-b]pyridin-7-yl)oxy]-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



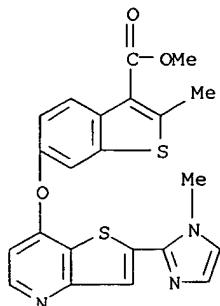
IT 638219-38-2P 638219-40-6P 638220-00-5P

**638220-02-7P 638220-03-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of benzofused heteroaryl amide derivs. of  
 thienopyridines as tyrosine kinase inhibitors useful against  
 hyperproliferative disorders)

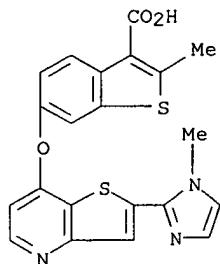
RN 638219-38-2 CAPLUS

CN Benzo[b]thiophene-3-carboxylic acid, 2-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



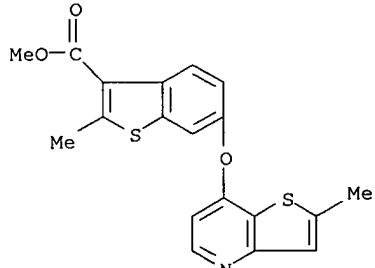
RN 638219-40-6 CAPLUS

CN Benzo[b]thiophene-3-carboxylic acid, 2-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RN 638220-00-5 CAPLUS

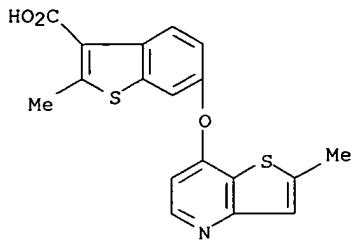
CN Benzo[b]thiophene-3-carboxylic acid, 2-methyl-6-[(2-methylthieno[3,2-b]pyridin-7-yl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 638220-02-7 CAPLUS

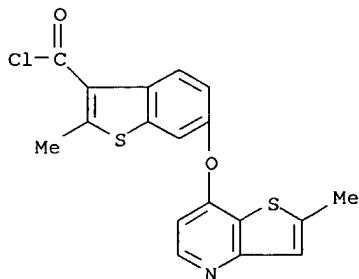
CN Benzo[b]thiophene-3-carboxylic acid, 2-methyl-6-[(2-methylthieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

10634162



RN 638220-03-8 CAPLUS

CN Benzo[b]thiophene-3-carbonyl chloride, 2-methyl-6-[(2-methylthieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:719486 CAPLUS

DN 139:246009

TI Preparation of thienopyridinylaminoindolylureas as antiangiogenic agents

IN Kania, Robert Steven; Romines, William Henry, III; Cripps, Stephan James; He, Mingying; Lou, Jihong; Zhou, Ru

PA Pfizer Inc., USA

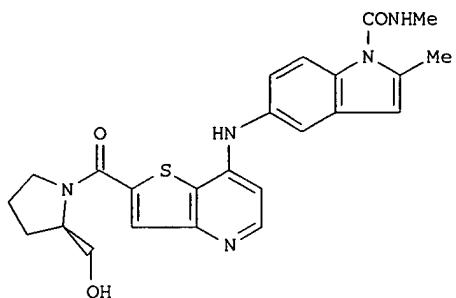
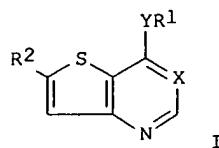
SO PCT Int. Appl., 163 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.      | KIND   | DATE     | APPLICATION NO. | DATE     |  |
|------|-----------------|--|----------|-----------------|----------|--|
| PI   | WO 2003074529   | A2   | 20030912 | WO 2003-IB740   | 20030217 |  |
|      | WO 2003074529   | A3   | 20031224 |                 |          |  |
|      | W:              | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |          |  |
|      | RW:             | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |  |
|      | US 2004019065   | A1   | 20040129 | US 2003-371337  | 20030221 |  |
| PRAI | US 2002-360952P | P  | 20020301 |                 |          |  |
| OS   | MARPAT          | 139:246009   |          |                 |          |  |
| GI   |                 |  |          |                 |          |  |



AB Title compds. I [ X = CH, N; Y = Nh, O, S, CH2; R1 = H, (un)substituted alkyl, cycloalkyl, acyl, aryl, heterocyclic; R2 = H, (un)substituted alkyl, cycloalkyl, CONH2, aroyl, aryl, aralkyl, heterocyclic, heterocyclalkyl, NH2, SO2NH2, CO2H] were prepared for use in treating hyperproliferative disorders in a mammal. Thus, the title compound II was prepared from the **thienopyridine** and aminoindolecarboxamide

fragments and has Ki = 0.69 nM for inhibition of a VEGF-R2 construct.

IT 596793-65-6P 596793-66-7P 596793-68-9P

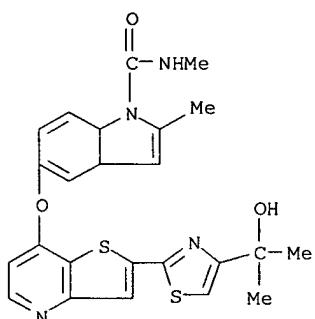
596793-70-3P 596793-71-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of **thienopyridinylaminoindolylureas** as antiangiogenic agents)

RN 596793-65-6 CAPLUS

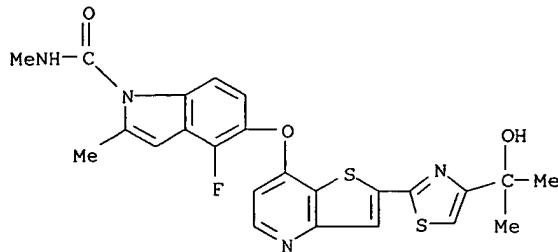
CN 1H-Indole-1-carboxamide, 3a,7a-dihydro-5-[(2-[4-(1-hydroxy-1-methylethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl]oxy]-N,2-dimethyl- (9CI) (CA INDEX NAME)



RN 596793-66-7 CAPLUS

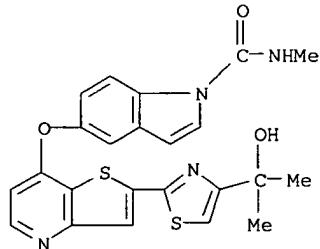
CN 1H-Indole-1-carboxamide, 4-fluoro-5-[(2-[4-(1-hydroxy-1-methylethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl]oxy]-N,2-dimethyl- (9CI) (CA INDEX NAME)

10634162



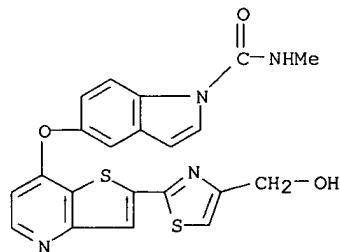
RN 596793-68-9 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[(2-[4-(1-hydroxy-1-methylethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N-methyl- (9CI) (CA INDEX NAME)



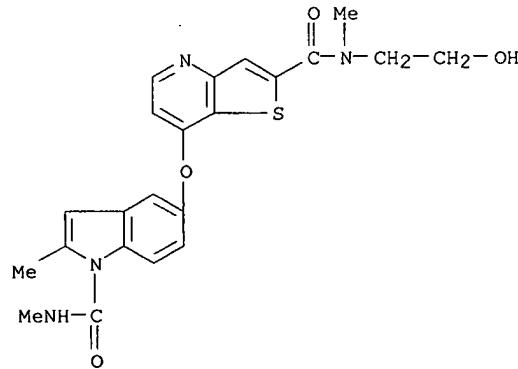
RN 596793-70-3 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[(2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 596793-71-4 CAPLUS

CN Thieno[3,2-b]pyridine-2-carboxamide, N-(2-hydroxyethyl)-N-methyl-7-[(2-methyl-1-{(methylamino)carbonyl}-1H-indol-5-yl)oxy]- (9CI) (CA INDEX NAME)



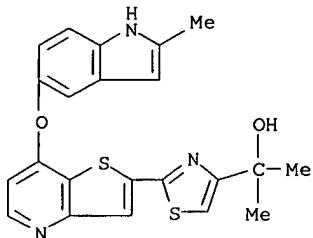
10634162

IT 481668-22-8 596793-67-8 596793-69-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of thienopyridinylaminoindolylureas as antiangiogenic agents)

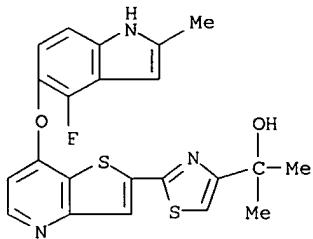
RN 481668-22-8 CAPLUS

CN 4-Thiazolemethanol,  $\alpha,\alpha$ -dimethyl-2-[7-[(2-methyl-1H-indol-5-yl)oxy]thieno[3,2-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)



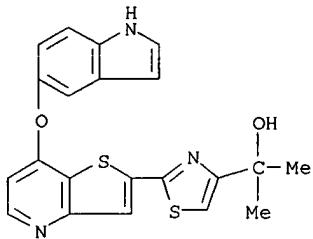
RN 596793-67-8 CAPLUS

CN 4-Thiazolemethanol, 2-[7-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]thieno[3,2-b]pyridin-2-yl]- $\alpha,\alpha$ -dimethyl- (9CI) (CA INDEX NAME)



RN 596793-69-0 CAPLUS

CN 4-Thiazolemethanol, 2-[7-(1H-indol-5-yloxy)thieno[3,2-b]pyridin-2-yl]- $\alpha,\alpha$ -dimethyl- (9CI) (CA INDEX NAME)

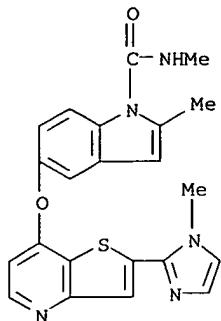


IT 596794-97-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of thienopyridinylaminoindolylureas as antiangiogenic agents)

RN 596794-97-7 CAPLUS

CN 1H-Indole-1-carboxamide, N,2-dimethyl-5-[[2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



L16 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:5724 CAPLUS

DN 138:73262

TI Preparation of thienopyridines and thienopyrimidines as anticancer agents

IN Marx, Matthew A.; Luzzio, Michael J.; Autry, Christopher L.

PA Pfizer Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|  | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------------|------|------|-----------------|------|
|--|------------|------|------|-----------------|------|

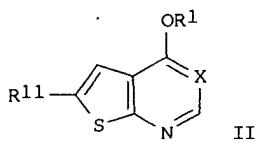
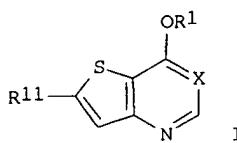
|    |               |    |          |                 |          |
|----|---------------|----|----------|-----------------|----------|
| PI | WO 2003000194 | A2 | 20030103 | WO 2002-US19830 | 20020620 |
|----|---------------|----|----------|-----------------|----------|

|   |    |          |  |  |  |
|---|----|----------|--|--|--|
| WO 2003000194   | A3 | 20040129 |  |  |  |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |    |          |  |  |  |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |    |          |  |  |  |

PRAI US 2001-299879P P 20010621

OS MARPAT 138:73262

GI



AB Title compds. [I, II; R1 = H, A, COA, (R5-substituted) Ar, het; A = alkyl; Het = heterocycl; Ar = aryl; R5 = halo, CN, NO2, OCF3, CF3, N3, COR8, CO2R8, O2CR8, OCO2R8, NR6COR7, NR6R7, OR9, SO2NR6R7, A, (CH2)tO(CH2)qOR9, (CH2)tOR9, S(O)jA, (CH2)tAr, (CH2)tHet, CO(CH2)tAr, (CH2)tO(CH2)jAr, (CH2)tO(CH2)qHet, CO(CH2)tHet, (CH2)jNR7(CH2)qNR6R7, (CH2)jNR7CH2C(O)NR6R7, (CH2)jNR7(CH2)tO(CH2)qOR9, (CH2)jNR7(CH2)qS(O)jA, (CH2)jNR7(CH2)tR6, SO2(CH2)tAr, SO2(CH2)tHet, etc.; j = 0-2; t = 0-6; q = 2-6; A, Ar, Het of R5 are optionally substituted by 1-3 halo, CN, NO2, CF3, N3, COR8, CO2R8, OCO2R8, NR6COR7, (CH2)tNR6R7, A, (CH2)tAr, (CH2)tHet, etc.; R6, R7 = H, A, (CH2)tAr, (CH2)tHet, (CH2)tO(CH2)qOR9, (CH2)tOR9; the A, Ar, Het of R6, R7 are optionally substituted by 1-3 halo, CN, NO2, CF3, N3, COR8, CO2R8, OCO2R8, NR6COR7, CONR9R10, NR9R10, A, (CH2)tAr, (CH2)tHet, (CH2)tOR9, etc.; R8 = H, A, (CH2)tAr, (CH2)tHet; t = 0-6; R9, R10 = H, Ar; R11 = H, A, CONR12R13, COAr, (CH2)tAr, (CH2)tHet, (CH2)tNR12R13, SO2NR12R13, CO2R12, A, COAr, (CH2)tAr, and (CH2)tHet are optionally substituted by 1-5 R5; R12, R13 = H, A, (CH2)t(cycloalkyl), (CH2)tAr, (CH2)tHet, (CH2)tO(CH2)qOR9, (CH2)tOR9, A, Ar, Het are

optionally substituted by 1-3 R5; R12R13N = (R5-substituted) azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, (thio)morpholinyl, (dihydro)isoquinolinyl, were prepared (no data). Thus, Cs2CO3, (3R)-[7-chlorothieno[3,2-b]pyridin-2-yl](3-methoxypyrrolidin-1-yl)methanone (preparation given), and 2-methyl-1H-indol-5-ol (preparation given) in DMF were heated at 90° for 20 h to give (3R)-(3-methoxypyrrolidin-1-yl)[7-(2-methyl-1H-indol-5-yloxy)thieno[3,2-b]pyridin-2-yl]methanone.

IT 481668-20-6P 481668-21-7P 481668-22-8P

481668-23-9P 481668-24-0P 481668-25-1P

481668-26-2P 481668-27-3P 481668-28-4P

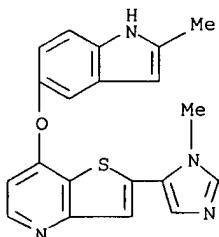
481668-29-5P 481668-30-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thienopyridines and thienopyrimidines as anticancer agents)

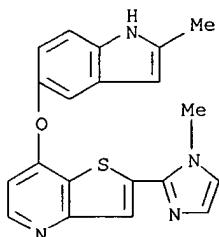
RN 481668-20-6 CAPLUS

CN Thieno[3,2-b]pyridine, 2-(1-methyl-1H-imidazol-5-yl)-7-[(2-methyl-1H-indol-5-yl)oxy]- (9CI) (CA INDEX NAME)



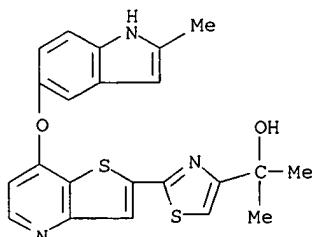
RN 481668-21-7 CAPLUS

CN Thieno[3,2-b]pyridine, 2-(1-methyl-1H-imidazol-2-yl)-7-[(2-methyl-1H-indol-5-yl)oxy]- (9CI) (CA INDEX NAME)



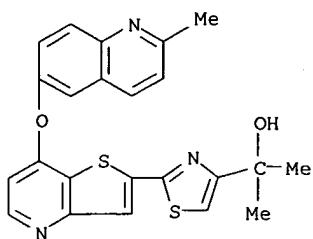
RN 481668-22-8 CAPLUS

CN 4-Thiazolemethanol,  $\alpha,\alpha$ -dimethyl-2-[7-[(2-methyl-1H-indol-5-yl)oxy]thieno[3,2-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)

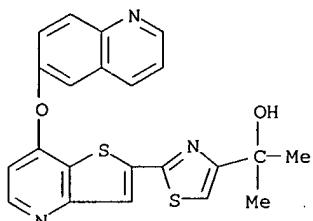


RN 481668-23-9 CAPLUS

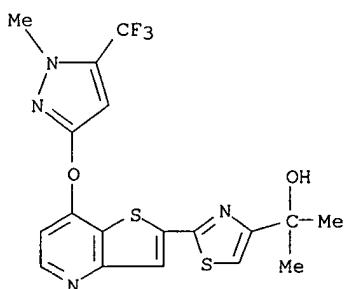
CN 4-Thiazolemethanol,  $\alpha,\alpha$ -dimethyl-2-[7-[(2-methyl-6-quinolinyl)oxy]thieno[3,2-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)



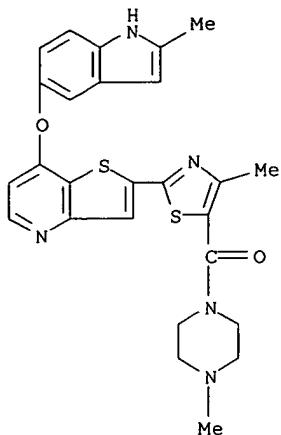
RN 481668-24-0 CAPLUS  
 CN 4-Thiazolemethanol,  $\alpha,\alpha$ -dimethyl-2-[7-(6-quinolinyl)oxy]thieno[3,2-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)



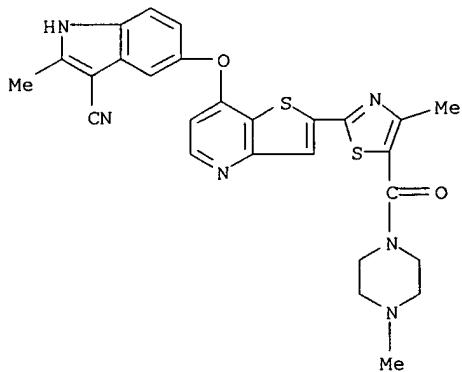
RN 481668-25-1 CAPLUS  
 CN 4-Thiazolemethanol,  $\alpha,\alpha$ -dimethyl-2-[7-[[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]oxy]thieno[3,2-b]pyridin-2-yl]- (9CI)  
 (CA INDEX NAME)



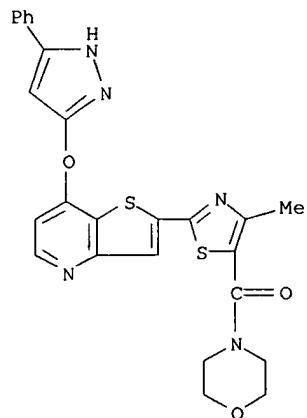
RN 481668-26-2 CAPLUS  
 CN Piperazine, 1-methyl-4-[[4-methyl-2-[7-[(2-methyl-1H-indol-5-yl)oxy]thieno[3,2-b]pyridin-2-yl]-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 481668-27-3 CAPIUS  
 CN Piperazine, 1-[2-{7-[(3-cyano-2-methyl-1H-indol-5-yl)oxy]thieno[3,2-b]pyridin-2-yl}-4-methyl-5-thiazolyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 481668-28-4 CAPIUS  
 CN Morpholine, 4-[[4-methyl-2-{7-[(5-phenyl-1H-pyrazol-3-yl)oxy]thieno[3,2-b]pyridin-2-yl}-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

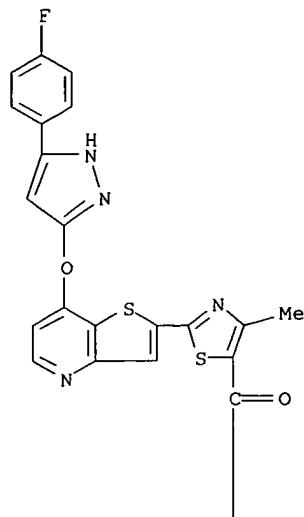


RN 481668-29-5 CAPIUS  
 CN Piperazine, 1-[2-{7-[(5-(4-fluorophenyl)-1H-pyrazol-3-yl)oxy]thieno[3,2-b]pyridin-2-yl}-4-methyl-5-thiazolyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

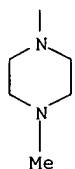
10634162

NAME)

PAGE 1-A

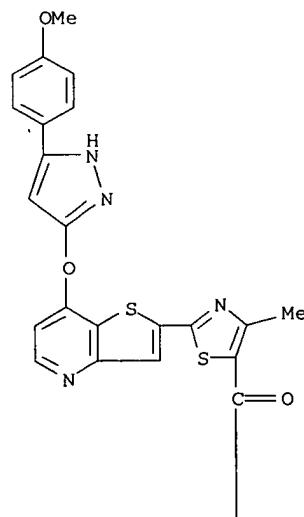


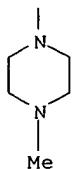
PAGE 2-A



RN 481668-30-8 CAPLUS  
CN Piperazine, 1-[2-[(7-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]thieno[3,2-b]pyridin-2-yl]-4-methyl-5-thiazolyl]carbonyl]-4-methyl- (9CI) (CA INDEX  
NAME)

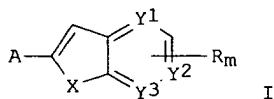
PAGE 1-A





L16 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1998:708828 CAPLUS  
DN 129:330718  
TI **Europyridine, thienopyridine, pyrrolopyridine and related pyrimidine, pyridazine and triazine compounds useful in controlling chemical synaptic transmission**  
IN Elliott, Richard L.; Ryther, Keith B.; Holladay, Mark W.; Wasciak, James T.; Daanen, Jerome F.; Lin, Nan-horng; Dart, Michael J.; He, Yun; Li, Yihong  
PA Abbott Laboratories, USA  
SO PCT Int. Appl., 132 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 2

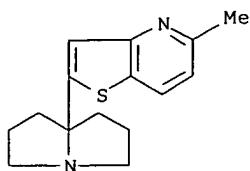
|      | PATENT NO.   | KIND       | DATE     | APPLICATION NO. | DATE     |
|------|--|------------|----------|-----------------|----------|
| PI   | WO 9846609   | A1         | 19981022 | WO 1998-US7128  | 19980410 |
|      | W: CA, JP, MX  |            |          |                 |          |
|      | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |            |          |                 |          |
|      | US 6001849   | A          | 19991214 | US 1997-834053  | 19970411 |
|      | EP 973777  | A1         | 20000126 | EP 1998-913418  | 19980410 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI      |            |          |                 |          |
|      | JP 2001521523  | T2         | 20011106 | JP 1998-544055  | 19980410 |
|      | MX 9909239   | A          | 20000331 | MX 1999-9239    | 19991008 |
| PRAI | US 1997-834053   | A          | 19970411 |                 |          |
|      | US 1995-1619P  | P          | 19950728 |                 |          |
|      | US 1996-679237   | B2         | 19960723 |                 |          |
|      | WO 1998-US7128   | W          | 19980410 |                 |          |
| OS   | MARPAT   | 129:330718 |          |                 |          |
| GI   |  |            |          |                 |          |



AB Heterocyclic ether compds. I [A = N heterocycle; X = O, S, NR<sub>3</sub> where R<sub>3</sub> = H, alkyl; m = 0-3; Y<sub>1</sub>, Y<sub>2</sub>, Y<sub>3</sub> = N, CN and at least one must be N], which are useful in selectively controlling chemical synaptic transmission, were prepared. E.g., reaction of 2-iodo-3-hydroxypyridine and N-2-propynylpyrrololidine in presence of bis(triphenylphosphine)palladium dichloride, CuI, and Et<sub>3</sub>N in DMF gave 72% 1-pyrrolidinylmethyl-2-furo[3,2-b]pyridine. The nicotinic acetylcholine receptor binding potencies of I were determined

IT **188056-43-1B**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of furopyridine, thienopyridine, pyrrolopyridine, and related compds. useful in controlling chemical synaptic transmission)

RN 188056-43-1 CAPLUS  
 CN Thieno[3,2-b]pyridine, 5-methyl-2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)-(9CI) (CA INDEX NAME)

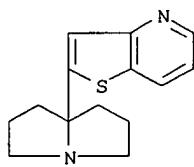


IT 188056-38-4P 188056-44-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of fuopyridine, **thienopyridine**, pyrrolopyridine, and related compds. useful in controlling chemical synaptic transmission)

RN 188056-38-4 CAPLUS

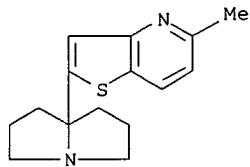
CN Thieno[3,2-b]pyridine, 2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 188056-44-2 CAPLUS

CN Thieno[3,2-b]pyridine, 5-methyl-2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



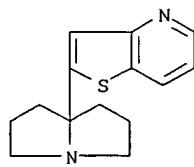
●2 HCl

IT 188056-37-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of fuopyridine, **thienopyridine**, pyrrolopyridine, and related compds. useful in controlling chemical synaptic transmission)

RN 188056-37-3 CAPLUS

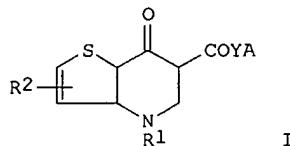
CN Thieno[3,2-b]pyridine, 2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1994:134449 CAPLUS  
DN 120:134449  
TI Preparation of thieno[3,2-b]pyridine derivatives for the treatment of  
gastrointestinal disorders  
IN Maruyama, Akira; Ogawa, Shigeru; Yamazaki, Satoshi; Tobe, Akihiro  
PA Mitsubishi Kasei Corp., Japan  
SO Eur. Pat. Appl., 24 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

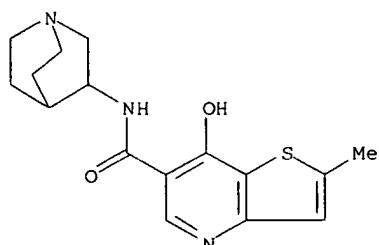
|      | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|------|--|------|----------|-----------------|----------|
| PI   | EP 560348  | A1   | 19930915 | EP 1993-103899  | 19930310 |
|      | EP 560348  | B1   | 20020710 |                 |          |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE<br>JP 05310747 | A2   | 19931122 | JP 1993-22851   | 19930210 |
|      | JP 2699794   | B2   | 19980119 |                 |          |
|      | AT 220403  | E    | 20020715 | AT 1993-103899  | 19930310 |
|      | PT 560348  | T    | 20021129 | PT 1993-103899  | 19930310 |
|      | ES 2179826   | T3   | 20030201 | ES 1993-103899  | 19930310 |
|      | CA 2091506   | AA   | 19930913 | CA 1993-2091506 | 19930311 |
|      | CA 2091506   | C    | 20010529 |                 |          |
|      | US 5352685   | A    | 19941004 | US 1993-29551   | 19930311 |
| PRAI | JP 1992-53864  | A    | 19920312 |                 |          |
| OS   | MARPAT 120:134449  |      |          |                 |          |
| GI   |  |      |          |                 |          |



AB Title compds. I (Y = O, R3N wherein R3 = C1-6 alkyl; R1 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C6-12 aryl, C7-18 aralkyl; R2 = H, C1-6 alkyl, halo, HO, C1-6 alkoxy, H2N, C1-6 alkyl, halo, C1-6 alkoxy, H2N, O2N, HS, C1-6 alkylthio; A = (substituted heterocycl) or a salt thereof, are prepared. I are claimed also for treatment of anxiety and (or) neurosis and arrhythmia (no data). To DMF were added 4,7-dihydro-4-methyl-7-oxothieno[3,2-b]pyridine-6-carboxylic acid and N,N'-carbonyldiimidazole followed by (endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-ylamine in DMF to give endo-I (R1 = Me, R2 = H, Y = NH A = 8-methyl-8-azabicyclo[3.2.1]oct-3-yl) and converted to the HCl salt (II). In test for promoting action of gastric emptying, II or 1 mg/kg p.o showed 140%.

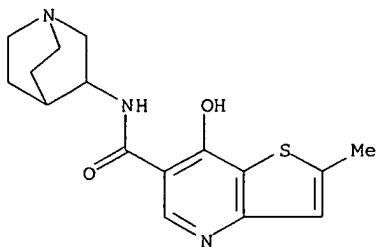
IT 152995-95-4P 152996-12-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, for treatment of gastrointestinal disorders)

RN 152995-95-4 CAPLUS  
CN Thieno[3,2-b]pyridine-6-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-7-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



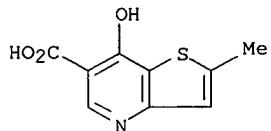
10634162

RN 152996-12-8 CAPLUS  
CN Thieno[3,2-b]pyridine-6-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-7-hydroxy-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 152996-02-6  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in preparation of drug for treatment of gastrointestinal disorders)  
RN 152996-02-6 CAPLUS  
CN Thieno[3,2-b]pyridine-6-carboxylic acid, 7-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



L16 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1988:549506 CAPLUS  
DN 109:149506  
TI Preparation of **thienopyridinecarboxamide** derivatives as cardiovascular agents and formulations containing them  
IN Davies, Roy Victor  
PA Boots Co. PLC, UK  
SO Eur. Pat. Appl., 18 pp.  
CODEN: EPXXDW

DT Patent

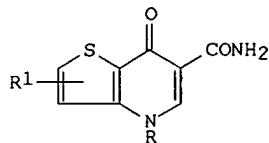
LA English

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | EP 269295   | A1   | 19880601 | EP 1987-309788  | 19871105 |
|    | EP 269295   | B1   | 19910626 |                 |          |
|    | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |          |
|    | ZA 8708306  | A    | 19880629 | ZA 1987-8306    | 19871105 |
|    | AT 64736  | E    | 19910715 | AT 1987-309788  | 19871105 |
|    | ES 2038188  | T3   | 19930716 | ES 1987-309788  | 19871105 |
|    | FI 8705020  | A    | 19880521 | FI 1987-5020    | 19871113 |
|    | DK 8705998  | A    | 19880521 | DK 1987-5998    | 19871116 |
|    | IL 84505  | A1   | 19901223 | IL 1987-84505   | 19871117 |
|    | DD 282690   | A5   | 19900919 | DD 1987-309168  | 19871118 |
|    | NO 8704834  | A    | 19880524 | NO 1987-4834    | 19871119 |
|    | JP 63141984   | A2   | 19880614 | JP 1987-293042  | 19871119 |
|    | HU 47289  | A2   | 19890228 | HU 1987-5137    | 19871119 |
|    | HU 198060   | B    | 19890728 |                 |          |
|    | US 4877793  | A    | 19891031 | US 1987-122394  | 19871119 |
|    | AU 8781440  | A1   | 19880526 | AU 1987-81440   | 19871120 |
|    | AU 599721   | B2   | 19900726 |                 |          |
|    | CN 87107975   | A    | 19880601 | CN 1987-107975  | 19871120 |
|    | CN 1019491  | B    | 19921216 |                 |          |

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PL 149617 B1 19900331 PL 1987-268925 19871120  
PRAI GB 1986-27698 19861120  
EP 1987-309788 19871105  
OS MARPAT 109:149506  
GI



I

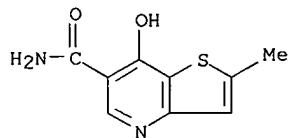
AB Title compds. I [R = lower alkyl; R1 = H, lower alkyl, alkoxy, halo, CF<sub>3</sub>, (substituted) Ph], useful as cardiovascular agents, were prepared. Methylation of Et 7-hydroxythieno[3,2-b]pyridine-6-carboxylate, followed by amidation using aqueous NH<sub>3</sub> gave I (R = Me, R1 = H) (II). At 30 mg/kg orally, II causes a min. significant reduction of blood pressure in spontaneously hypertensive rats. A tablet formulation containing II 100, lactose 100, starch 22, polyvinylpyrrolidone 10, and Mg stearate 3 weight parts was prepared.

IT 116623-95-1 116643-24-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(alkylation of)

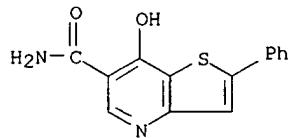
RN 116623-95-1 CAPLUS

CN Thieno[3,2-b]pyridine-6-carboxamide, 7-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



RN 116643-24-4 CAPLUS

CN Thieno[3,2-b]pyridine-6-carboxamide, 7-hydroxy-2-phenyl- (9CI) (CA INDEX NAME)

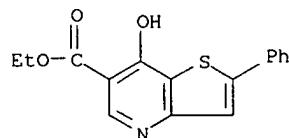


IT 116624-15-8P 116624-19-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, in preparation of thienopyridinone cardiovascular agents)

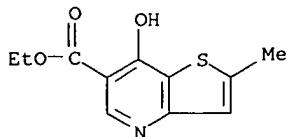
RN 116624-15-8 CAPLUS

CN Thieno[3,2-b]pyridine-6-carboxylic acid, 7-hydroxy-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 116624-19-2 CAPLUS

CN Thieno[3,2-b]pyridine-6-carboxylic acid, 7-hydroxy-2-methyl-, ethyl ester  
 (9CI) (CA INDEX NAME)



L16 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1986:608886 CAPLUS

DN 105:208886

TI Imidazolylthienopyridine derivatives as herbicides

IN Numata, Tatsuo; Hatanaka, Masataka; Watanabe, Junichi; Igai, Takashi; Nawamaki, Tsutomu

PA Nissan Chemical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

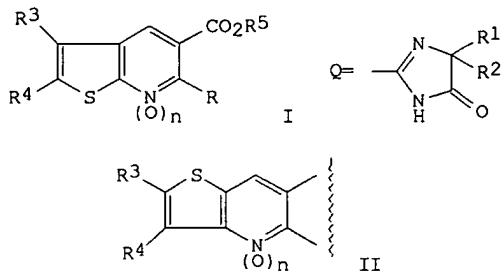
DT Patent

LA Japanese

FAN.CNT 1

|      | PATENT NO.          | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---------------------|------|----------|-----------------|----------|
| PI   | JP 61109790         | A2   | 19860528 | JP 1984-230874  | 19841031 |
| PRAI | JP 1984-230874      |      |          |                 |          |
| OS   | CASREACT 105:208886 |      |          |                 |          |

GI



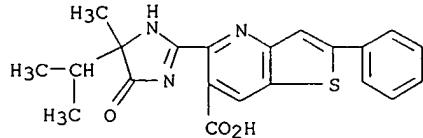
AB The title compds. [I and II; R = Q; R1 = alkyl; R2 = (cyclo)alkyl or R1R2 = (un)substituted alkylene; R3, R4 = H, halo, alkyl, (un)substituted Ph, etc. or R3R4 = (un)substituted alkylene; R5 = H, alkyl, etc.; n = 0,1] were prepared. Thus, anhydride formation of I (R = CO2H; R3 = R5 = H; R4 = Me; n=0) in Ac2O at 100° followed by the reaction of the resulting I (RR5 = CO; R3 = H; R4 = Me; n = O) with H2NCMe(CONH2)CHMe2 gave I [R = CONHCMe(CONH2)CHMe2; R3 = R5 = H; R4 = Me; n = O] whose cyclization in NaOH/H2O at 70-80° for 4 h gave, after acidification, I (R = Q; R1 = R4 = Me; R2 = CHMe2; R3 = H; n = O). The prepared I and II at 0.8-3.2 g/are were effective against common weeds, e.g., Echinochloa crus-galli.

IT 105126-30-5P 105126-31-6P 105126-33-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)

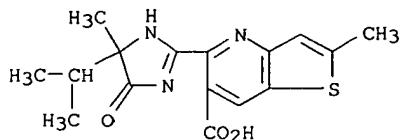
RN 105126-30-5 CAPLUS

CN Thieno[3,2-b]pyridine-6-carboxylic acid, 5-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2-phenyl- (9CI) (CA INDEX NAME)

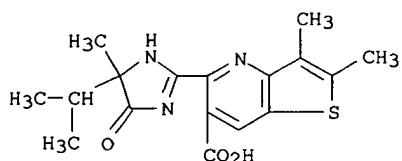


10634162

RN 105126-31-6 CAPLUS  
CN Thieno[3,2-b]pyridine-6-carboxylic acid, 5-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2-methyl- (9CI) (CA INDEX NAME)



RN 105126-33-8 CAPLUS  
CN Thieno[3,2-b]pyridine-6-carboxylic acid, 5-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



L16 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1986:460612 CAPLUS

DN 105:60612

TI (Tetrazolyl)thienopyridinones

IN Wright, Terry L.

PA Merrell Dow Pharmaceuticals, Inc., USA

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | EP 177924                                     | A1   | 19860416 | EP 1985-112689  | 19851007 |
|      | EP 177924                                     | B1   | 19900221 |                 |          |
|      | R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |          |
|      | US 4593099                                    | A    | 19860603 | US 1984-659397  | 19841010 |
|      | CA 1293257                                    | A1   | 19911217 | CA 1985-492239  | 19851004 |
|      | AT 50449                                      | E    | 19900315 | AT 1985-112689  | 19851007 |
|      | JP 61112081                                   | A2   | 19860530 | JP 1985-223880  | 19851009 |
|      | JP 06041469                                   | B4   | 19940601 |                 |          |
| PRAI | US 1984-659397                                |      | 19841010 |                 |          |
|      | EP 1985-112689                                |      | 19851007 |                 |          |

OS CASREACT 105:60612

GI For diagram(s), see printed CA Issue.

AB Title compds. I (Z forms a fused thieno which can contain 1 or 2 Me groups) were prepared, and they showed anti-allergic activity.

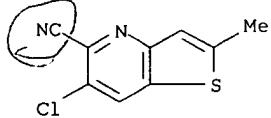
Thienopyridinone derivative II (R1 = cyano), which was prepared from 3-acetamidothiophene in a series of reactions, was treated with NaN3 to give II (R1 = 1H-tetrazol-5-yl).

IT 102902-34-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 102902-34-1 CAPLUS

CN Thieno[3,2-b]pyridine-5-carbonitrile, 6-chloro-2-methyl- (9CI) (CA INDEX NAME)



L16 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1982:616153 CAPLUS

DN 97:216153

TI Thieno[3,2-b]pyridinecarboxylic acid derivatives

PA Kanebo, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DT Patent

## LA Japanese

FAN.CNT 1

PATENT NO.

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APPLICATION NO. DATE

— 1 —

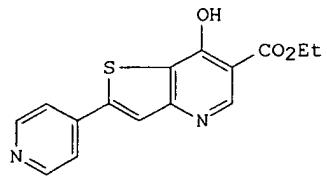
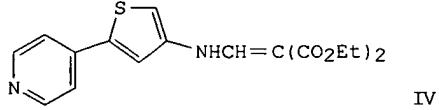
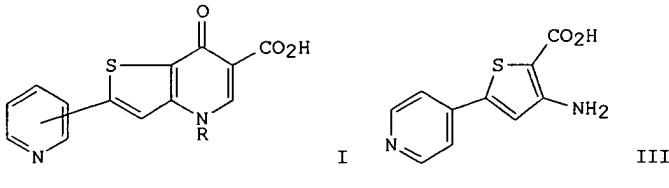
PI JP 57116077 A2 19820719

JP 1981-3457

PRAI JP 1981-3457

OS CASREACT 97:216153

GI



AB Title derivs. I [4-pyridyl, R = Et (II); 4-pyridyl, R = H<sub>2</sub>C:CH; 3-pyridyl, R = Et] useful as bactericides (data given) were prepared. Thus, heating 21.6 g III with 21.3 g H<sub>2</sub>C:C(CO<sub>2</sub>Et)<sub>2</sub> in DMF 2 h at 150° gave 21.2 g IV, which (10 g) was stirred with 58 g Et polyphosphate 2.5 h at 120° to give 3.1 g V. Stirring 0.99 g V with 0.25 mL EtI in DMF in the presence of 0.16 g 50% oily NaH 3 h at 70° gave 0.36 g Et ester of II. Refluxing 1.4 g the ester in 10% aqueous KOH 1.5 h gave 0.8 g II.

IT 83739-52-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and dehydrogenation of)

Preparation and

RN 85739-52-0 CAplus  
CN Thieno[3,2-b]pyridine-6-carboxylic acid, 7-hydroxy-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

